PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference	FOR FURTHER ACTION	See Form PCT/IPEA/416
SUVN-RK-002		
International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/IN 03/00209	05.06.2003	28.11.2002
International Patent Classification (IPC) or national classification and IPC		
C07D209/14		
Applicant		
SUVEN PHARMACEUTICALS LTD. et al.		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 7 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
   a. ☒ *sent to the applicant and to the International Bureau)* a total of 76 sheets, as follows:
      ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

☒	Box No. I	Basis of the opinion
☐	Box No. II	Priority
☒	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
☐	Box No. IV	Lack of unity of invention
☒	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
☐	Box No. VI	Certain documents cited
☐	Box No. VII	Certain defects in the international application
☐	Box No. VIII	Certain observations on the international application

Date of submission of the demand	Date of completion of this report
23.06.2004	24.02.2005
Name and mailing address of the international preliminary examining authority:	Authorized Officer
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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/IN 03/00209

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

   ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
   - ☐ international search (under Rules 12.3 and 23.1(b))
   - ☐ publication of the international application (under Rule 12.4)
   - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

Description, Pages

1-5, 7-9, 12-14	as originally filed
6, 10, 11, 15-75	received on 05.11.2004 with letter of 02.11.2004

Claims, Numbers

1-19	received on 05.11.2004 with letter of 02.11.2004

   ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3. ☐ The amendments have resulted in the cancellation of:
   - ☐ the description, pages
   - ☐ the claims, Nos.
   - ☐ the drawings, sheets/figs
   - ☐ the sequence listing *(specify)*:
   - ☐ any table(s) related to sequence listing *(specify)*:

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
   - ☐ the description, pages
   - ☐ the claims, Nos.
   - ☐ the drawings, sheets/figs
   - ☐ the sequence listing *(specify)*:
   - ☐ any table(s) related to sequence listing *(specify)*:

* *If item 4 applies, some or all of these sheets may be marked "superseded."*

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/IN 03/00209

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 6-13, 15-18 (industrial applicability)

because:

☒ the said international application, or the said claims Nos. 6-13, 15-18 (industrial applicability) relate to the following subject matter which does not require an international preliminary examination (specify):

see separate sheet

☐ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. are so unclear that no meaningful opinion could be formed *(specify)*:

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos.

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form	☐	has not been furnished
	☐	does not comply with the standard
the computer readable form	☐	has not been furnished
	☐	does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.

☐ See separate sheet for further details

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-19
	No:	Claims	
Inventive step (IS)	Yes:	Claims	1-19
	No:	Claims	
Industrial applicability (IA)	Yes:	Claims	1-5,14,19
	No:	Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claims 6 to13 and 15 to 18 relate to subject matter considered by this Authority to be covered by the provisions of Rule 67.1 (iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject matter of these claims, cf. Article 34(4)(a)(i) PCT.

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1- The amendments introduced with the letter of 2 November 2004 are considered to comply with the requirements of art. 41.2 PCT.

2- Reference is made to the following documents cited in the search report:

D1: WO 02/058702 A
D2: WO 02/47687 A
D3: PATENT ABSTRACTS OF JAPAN vol. 2000, no. 15, 6 April 2001 (2001-04-06) & JP 2000 344744 A
D4: BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 9, no. 3, 8 February 1999 (1999-02-08), pages 333-336
D5: J. HETROCYCLIC CHEM., vol. 29, 1992, pages 953-958
D6: DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE XP002253978 AN:1998:348612 Database accession no. DN:129:122614
D7: THE JOURNAL OF ORGANIC CHEMISTRY, vol. 50, no. 26, 27 December 1985 (1985-12-27), pages 5451-5457
D9: PATENT ABSTRACTS OF JAPAN vol. 017, no. 345 (C-1077), 30 June 1993 (1993-06-30) & JP 05 043544 A
D10: TETRAHEDRON LETTERS, vol. 36, no. 18, 1 May 1995 pages 3103-3106
D11: DATABASE CROSSFIRE BEILSTEIN BRN:6339193
D12: DATABASE CROSSFIRE BEILSTEIN BRN:6149229
D13: DATABASE CROSSFIRE BEILSTEIN BRN:1389548
D14: DATABASE CROSSFIRE BEILSTEIN BRN:6339193
D15: DATABASE CROSSFIRE BEILSTEIN BRN:4193743

D16: DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE, AN:2002:288045 Database accession no. DN:137:310781
D17: DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE AN:2003:475519 Database accession no. DN:139:6769
D18: DATABASE CROSSFIRE BEILSTEIN BRN:7538920
D19: DATABASE CROSSFIRE BEILSTEIN BRN:8491943
D20: DATABASE CROSSFIRE BEILSTEIN BRN:4488731
D21: JOURNAL OF MEDICINAL CHEMISTRY, vol. 36, no. 9, 1993, pages 1194-1202
D22: DATABASE CROSSFIRE BEILSTEIN BRN: 1592954
D23: DATABASE CROSSFIRE BEILSTEIN BRN: 885083
D24: BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, vol. 12, no. 7, - 8 April 2002 pages 1035-1038
D25: GB-A-1 305 458
D26: WO 92/13856 A
D27: WO 96/03400 A
D28: JOURNAL OF MEDICINAL CHEMISTRY, vol. 44, no. 23, 8 November 2001 pages 3881-3895

3- Compounds of formula (I) are novel. They differ from the compounds of D1,D2, D5 and D6 on account of the substituents R13/R16/R17. D3 dos not disclose any relevant compounds affecting the novelty of present formula (I). The most relevant indole derivatives of D4 (i.e. compounds 15-17) have different substituents in position 3. Present compounds differ from the ones disclosed in D7, D9 to D21, D26 and D28 mainly on account of the group in position 3. The compounds of D22 to D25 and D27 lack the arylsulphonyl moiety.
Hence, the requirements of Art. 33.2 are met.

4- Inventive step
4.1- The applicant seems to have set himself the task of providing novel agents capable to modulate the serotonin receptors.
Documents D26 to D28 relate to indole derivatives which may bear a phenyl sulphonyl moiety in position 1 and which are ligands of serotonin receptors. Considering the chemical structures of the compounds disclosed in these documents, it is considered that D26 represents the closest state of the art.
For the purpose of assessing the inventive step during the international phase, it is accepted that present compounds have the claimed activity, i.e. that they have affinity

for the serotonin receptors.
Accordingly, the objective technical problem can be seen in the provision of further serotonin receptors.

4.2- Compounds of D26 differ from present compounds in that they lack present moiety A-N(R13)C(R14R15)nN(R16R17) which appear to be absent also from the compounds of D27 and D28.
D28 discloses a compound (n 30) containing a similar substituent in position 3.
However, the activity of this compound as 5-HT6 antagonists appear to be very poor.
Accordingly, taking into account of this state of the art, it appears that the skilled person would not find any suggestion for preparing present compounds.

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their geometric forms, their N-oxides, their polymorphs, their pharmaceutically acceptable salts and their pharmaceutically acceptable solvates,

wherein A may be $-CH_2-$, and R_{11} and R_{12}, refer to substitutions on the carbon;

R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11}, R_{12}, R_{14} and R_{15} may be same or different and each independently represent hydrogen, halogen, oxo, thio, perhaloalkyl, hydroxy, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, dialkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, carboxylic acid and its derivatives, sulfonic acids and its derivatives,

R_{13}, R_{16} and R_{17} may be same or different and each independently represents Hydrogen, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, aryl, aralkyl, heteroaryl, heterocyclylalkyl; optionally R_{13} along with either R_{16} or R_{17} and the two nitrogen atoms may form a 5, 6 7–membered heterocyclic ring, which may be further substituted with R_{14} and R_{15}, and may have either one, two or three double bonds;

N-(1-(4-Bromobenzenesulfonyl)-5-bromo-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine hydrochloride salt;

N-(5-Bromo-1-(4-methoxybenzenesulfonyl)1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine;

N-(1-(4-Methoxybenzenesulfonyl)-5-nitro-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine;

N-(1-(4-Methoxybenzenesulfonyl)-5-nitro-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine hydrochloride salt;

N-(1-(2-Bromobenzenesulfonyl)-5-bromo-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine;

1-(2-Bromobenzenesulfonyl)-3-(4-(3-chlorobenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;

1-(4-Methoxybenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;

1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;

1-(4-Isopropylbenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;

5-Bromo-1-(4-fluorobenzenesulfonyl)3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;

5-Bromo-1-(4-methoxybenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;

5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;

1-(4-Fluorobenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;

1-(4-Fluorobenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole hydrochloride salt ;

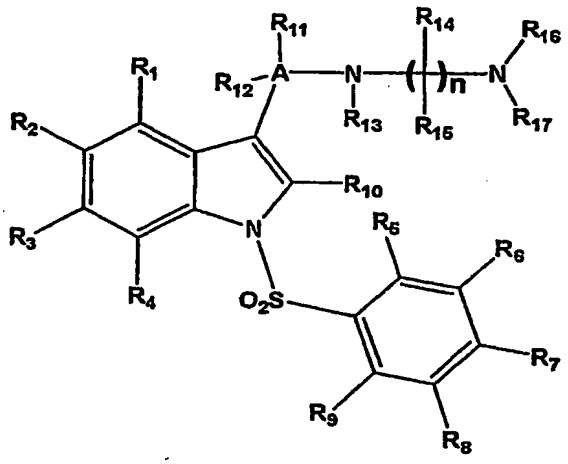
1-(4-Methoxybenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;

1-(4-Isopropylbenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;

1-(4-Isopropylbenzenesulfonyl)-5-methoxy-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Methoxybenzenesulfonyl)-5-methoxy-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Isopropylbenzenesulfonyl)-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Methoxybenzenesulfonyl)-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(2-Bromo-4-methoxybenzenesulfonyl)- 3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(Benzenesulfonyl)- 3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Methoxybenzenesulfonyl)-3-2-[1,4]Diazepan-1-ylmethyl-1H-indole;
(R,S) 1-(1-Benzenesulfonylindol-3-yl)-1-(4-methylpiperazin-1-yl)ethane;
(R) 1-(1-Benzenesulfonylindol-3-yl)-1-(4-methylpiperazin-1-yl)ethane;
(S) 1-(1-Benzenesulfonylindol-3-yl)-1-(4-methylpiperazin-1-yl)ethane;
(R,S) 1-[1-(4-Methylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(R) 1-[1-(4-Methylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(S) 1-[1-(4-Methylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(R,S) 1-[1-(4-Methoxylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane; (R) 1-[1-(4-Methoxylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(S) 1-[1-(4-Methoxylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(R,S) 1-[1-(4-Isopropylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane; (R) 1-[1-(4-Isopropylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(S) 1-[1-(4-Isopropylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
1-(4-Fluorobenzenesulfonyl)-1H-indole-3-carboxylic acid N-(N',N'-dimethylaminoethyl)-N-methylamide;
1-(4-Methoxybenzenesulfonyl)-1H-indole-3-carboxylic acid N-(N',N'-dimethylaminoethyl)-N-methylamide;

Detailed Description of the Invention:

The present invention relates to novel substituted N-arylsulfonyl-3-substituted indoles of the general formula (I),



General Formula (I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their geometric forms, their N-oxides, their polymorphs, their pharmaceutically acceptable salts and their pharmaceutically acceptable solvates,

wherein A may be $-CH_2-$, and R_{11} and R_{12}, refer to substitutions on the carbon;

R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11}, R_{12}, R_{14} and R_{15} may be same or different and each independently represent hydrogen, halogen, oxo, thio, perhaloalkyl, hydroxy, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, dialkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, carboxylic acid and its derivatives, sulfonic acids and its derivatives,

R_{13}, R_{16} and R_{17} may be same or different and each independently represents Hydrogen, substituted or unsubstituted groups selected from linear or branched $(C_1$-$C_{12})$alkyl, $(C_2$-$C_{12})$alkenyl, $(C_2$-$C_{12})$alkynyl, $(C_3$-$C_7)$cycloalkyl, $(C_3$-$C_7)$cycloalkenyl, bicycloalkyl, bicycloalkenyl, aryl, aralkyl, heteroaryl, heterocyclylalkyl; optionally R_{13} along with either R_{16} or R_{17} and the two nitrogen atoms may form a 6 or 7–membered heterocyclic ring, which may be further substituted with R_{14} and R_{15}, and may have either one, two or three double bonds;

"n" is an integer ranging from 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched.

Suitable groups represented by R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11}, R_{12}, R_{14} and R_{15} wherever applicable may be selected from halogen atom such as fluorine, chlorine, bromine or iodine; perhaloalkyl particularly perhalo$(C_1$-$C_6)$alkyl such as fluoromethyl, difluoromethyl, trifluoromethyl, trifluoroethyl, fluoroethyl, difluoroethyl and the like; substituted or unsubstituted $(C_1$-$C_{12})$alkyl group, especially, linear or branched $(C_1$-$C_8)$alkyl group, such as methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, t-butyl, n-pentyl, iso-pentyl, hexyl, iso-hexyl, heptyl, octyl and the like; substituted or unsubstituted $(C_2$-$C_{12})$alkenyl group such as ethylene, n-propylene pentenyl, hexenyl, heptynyl, heptadienyl and the like; $(C_2$-$C_{12})$alkynyl substituted or unsubstituted $(C_2$-$C_{12})$alkynyl group such as acetylene and the like; cyclo$(C_3$-$C_7)$alkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, the cycloalkyl group may be substituted; cyclo$(C_3$-$C_7)$alkenyl group such as cyclopentenyl, cyclohexenyl, cycloheptynyl, cycloheptadienyl, cycloheptatrienyl and the like, the cycloalkenyl group may be substituted; $(C_1$-$C_{12})$alkoxy, especially, $(C_1$-$C_6)$alkoxy group such as methoxy, ethoxy, propyloxy, butyloxy, iso-propyloxy and the like, which may be substituted; cyclo$(C_3$-$C_7)$ alkoxy group such as cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, cyclohexyloxy, cycloheptyloxy and the like, the cycloalkoxy group may be substituted; aryl group such as phenyl or naphthyl, the aryl group may be substituted; aralkyl group such as benzyl, phenethyl, $C_6H_5CH_2CH_2CH_2$, naphthylmethyl and the like, the aralkyl group may be

substituted and the substituted aralkyl is a group such as $CH_3C_6H_4CH_2$, Hal-$C_6H_4CH_2$, $CH_3OC_6H_4CH_2$, $CH_3OC_6H_4CH_2CH_2$ and the like; aralkoxy group such as benzyloxy, phenethyloxy, naphthylmethyloxy, phenylpropyloxy and the like, the aralkoxy group may be substituted; acyl groups such as acetyl, propionyl or benzoyl, the acyl group may be substituted; acyloxy group such as CH_3COO, CH_3CH_2COO, C_6H_5COO and the like which may optionally be substituted, acylamino group such as CH_3CONH, CH_3CH_2CONH, C_3H_7CONH, C_6H_5CONH which may be substituted, (C_1-C_6)monoalkylamino group such as CH_3NH, C_2H_5NH, C_3H_7NH, $C_6H_{13}NH$ and the like, which may be substituted, (C_1-C_6)dialkylamino group such as $N(CH_3)_2$, $CH_3(C_2H_5)N$ and the like, which may be substituted; arylamino group such as C_6H_5NH, $CH_3(C_6H_5)N$, $C_6H_4(CH_3)NH$, NH-C_6H_4-Hal and the like, which may be substituted; arylalkylamino group such as $C_6H_5CH_2NH$, $C_6H_5CH_2CH_2NH$, $C_6H_5CH_2NCH_3$ and the like, which may be substituted; hydroxy(C_1-C_6)alkyl which may be substituted, amino(C_1-C_6)alkyl which may be substituted; mono(C_1-C_6)alkylamino(C_1-C_6)alkyl, di(C_1-C_6)alkylamino(C_1-C_6)alkyl group which may be substituted, alkoxyalkyl group such as methoxymethyl, ethoxymethyl, methoxyethyl, ethoxyethyl and the like, which may be substituted; (C_1-C_6)alkylthio, alkoxycarbonylamino group such as C_2H_5OCONH, CH_3OCONH and the like which may be substituted; aryloxycarbonylamino group as C_6H_5OCONH, $C_6H_5OCONCH_3$, $C_6H_5OCONC_2H_5$, $C_6H_4CH_3OCONH$, $C_6H_4(OCH_3)OCONH$ and the like which may be substituted; aralkoxycarbonylamino group such $C_6H_5CH_2OCONH$, $C_6H_5CH_2CH_2OCONH$, $C_6H_5CH_2OCON(CH_3)$, $C_6H_5CH_2OCON(C_2H_5)$, $C_6H_4CH_3CH_2OCONH$, $C_6H_4OCH_3CH_2OCONH$ and the like, which may be substituted; aminocarbonylamino group; (C_1-C_6)alkylaminocarbonylamino group, di(C_1-C_6)alkylaminocarbonylamino group;

$(C_1$-$C_6)$alkylamidino group, $(C_1$-$C_6)$alkylguanidino, di$(C_1$-$C_6)$alkylguanidino groups, carboxylic acid or its derivatives such as amides, like $CONH_2$, alkylaminocarbonyl like CH_3NHCO, $(CH_3)_2NCO$, C_2H_5NHCO, $(C_2H_5)_2NCO$, arylaminocarbonyl like PhNHCO, NapthylNHCO and the like, aralkylaminocarbonyl such as $PhCH_2NHCO$, $PhCH_2CH_2NHCO$ and the like, heteroarylaminocarbonyl and heteroaralkylamino carbonyl groups where the heteroaryl groups are as defined earlier, heterocyclylaminocarbonyl where the heterocyclyl group is as defined earlier, carboxylic acid derivatives such as esters, wherein the ester moieties are alkoxycarbonyl groups such as unsubstituted or substituted phenoxycarbonyl, naphthyloxycarbonyl and the like; sulfonic acid or its derivatives such as SO_2NH_2, SO_2NHCH_3, $SO_2N(CH_3)_2$, SO_2NHCF_3, $SO_2NHCO(C_1$-$C_6)$alkyl, SO_2NHCOaryl where the aryl group is as defined earlier and the sulfonic acid derivatives may be substituted;

R_{13}, R_{16} and R_{17} preferably represents hydrogen, substituted or unsubstituted linear or branched $(C_1$-$C_{12})$alkyl like methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, pentyl, hexyl, octyl and the like; aryl group such as phenyl or naphthyl, the aryl group may be substituted; cyclo$(C_3$-$C_7)$alkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, the cycloalkyl group may be substituted; the aralkyl group may be substituted and the substituted aralkyl is a group such as $CH_3C_6H_4CH_2$, Hal-$C_6H_4CH_2$,

$CH_3OC_6H_4CH_2$, $CH_3OC_6H_4CH_2CH_2$ and the like; (C_3-C_7)cycloheteroalkyl with heteratoms like "Oxygen", "Nitrogen", "Sulfur" or "Selenium" optionally containing one or two double or triple bonds. Suitable hetero cyclic rings formed between R_{13}, and either of R_{16} or R_{17} be selected from pyrimidinyl, pyrazinyl, piperazinyl and the like, the heterocyclyl group may be substituted; heteroaryl group such as pyridyl and the like, the heteroaryl group may be substituted;

In the case of the compounds of general formula (I) having an asymmetric carbon atom the present invention relates to the D-form, the L-form and D,L- mixtures and in the case of a number of asymmetric carbon atoms, the diastereomeric forms and the invention extends to each of these stereoisomeric forms and to mixtures thereof including racemates. Those compounds of general formula (I) which have an asymmetric carbon and as a rule are obtained as racemates can be separated one from the other by the usual methods, or any given isomer may be obtained by stereospecific or asymmetric synthesis. However, it is also possible to employ an optically active compound from the start, a correspondingly optically active or diastereomeric compound then being obtained as the final compound.

In the case of the compounds of general formula (I), where tautomerism may exist, the present invention relates to all of the possible tautomeric forms and the possible mixture thereof.

In the case of the compounds of general formula (I) containing geometric isomerism the present invention relates to all of these geometric isomers.

Suitable pharmaceutically acceptable acid addition salts of compounds of the general formula (I) can be prepared of the aforementioned base compounds of this invention are those which form non-toxic acid addition salts, includes, salts containing pharmacologically acceptable anions, such as the hydrochloride, hydrobromide, hydroiodide, nitrate, sulfate, bisulfate, phosphate, acid phosphate, acetate, lactate, citrate, acid citrate, tartrate, bitartrate, succinate, maleate, fumarate, gluconate, saccharate, benzoate, methanesulfonate, ethanesulfonate, benezenesulfonate, p-tolunesulfonate, palmoate and oxalate. Pharmaceutically acceptable salts forming part of this invention are intended to define few examples but not limited to the above list.

Suitable pharmaceutically acceptable base addition salts of compounds of the general formula (I) can be prepared of the aforementioned acid compounds of this invention are those which form non-toxic base addition salts, includes, salts containing pharmaceutically acceptable cations, such as Lithium, sodium, potassium, calcium and magnesium, salts of organic bases such as lysine, arginine, guanidine, diethanolamine, choline, tromethamine and the like; ammonium or substituted ammonium salts. Pharmaceutically acceptable salts forming part of this invention are intended to define few examples but not limited to the above list.

In addition, pharmaceutically acceptable salts of the compound of formula (I) can be obtained by converting derivatives which have tertiary amino groups into the corresponding quarternary ammonium salts in the methods known in the literature by using quarternizing agents. Possible quarternizing agents are, for example, alkyl halides such as methyl iodide, ethyl bromide and n-propyl chloride, including arylalkyl halides such as benzyl chloride or 2-phenylethyl bromide. Pharmaceutically acceptable salts forming part of this invention are intended to define few examples but not limited to the above list.

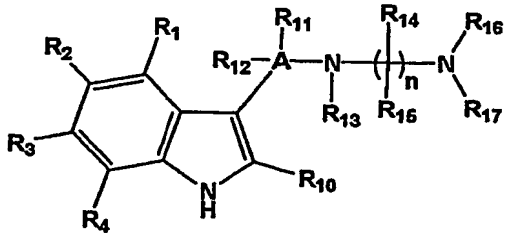
In the following description and reaction schemes R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11}, R_{12}, R_{13}, R_{14}, R_{15}, R_{16}, R_{17}, A and n are as defined previously and R is as defined elsewhere in the specification.

Compounds of general formula (I) can be prepared by any of the methods described below:

The present invention also provides processes for preparing compounds of general formula (I) as defined above, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their geometric forms, their N-oxides, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and novel intermediates involved therein, which are as described below:

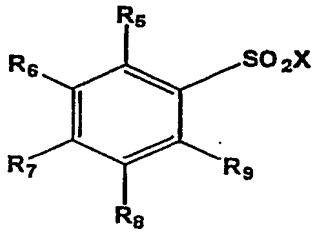
Scheme - 1 :

Alternatively, compounds of formula (I) may be prepared by reacting a compound of formula (IV) given below,



(IV)

wherein A, R_1, R_2, R_3, R_4, R_{10}, R_{11}, R_{12}, R_{13}, R_{14}, R_{15}, R_{16} and R_{17} are as defined in relation to formula (I), further R_{10} could be protected form thereof; with a compound of formula (V),



(V)

where R_5, R_6, R_7, R_8 and R_9, are as defined in relation to formula (I) and X is a halogeno, preferably chloro or bromo; and thereafter if desired or necessary carrying out steps (i), (ii) and/or (iii) as described above.

Preferably the substituents selected for the compounds of formula (IV) and (V) are either not affected by the reaction conditions or else the sensitive groups are protected using suitable protecting groups.

Compounds of formula (IV) and (V) are suitably reacted together in an inert organic solvent which includes, aromatic hydrocarbons such as toluene, o-, m-, p-xylene; halogenated hydrocarbons such as methylene chloride, chloroform, and chlorobenzene; ethers such as diethylether, diisopropyl ether, tert-butyl methyl ether, dioxane, anisole, and tetrahydrofuran; nitriles such as acetonitrile and propionitrile; ketones such as acetone, methyl ethyl ketone, diethyl ketone and tert-butyl methyl ketone; alcohols such as methanol, ethanol, n-propranol, n-butanol, tert-butanol and also DMF (N.N-dimethylformamide), DMSO (N.N-dimethyl sulfoxide) and water. The preferred list of solvents includes DMSO, DMF, acetonitrile and THF. Mixtures of these in varying ratios can also be used. Suitable bases are, generally, inorganic compounds such as alkali metal hydroxides and alkaline earth metal hydroxides, such as lithium hydroxide, sodium hydroxide, potassium hydroxide and calcium hydroxide; alkali metal oxides and alkaline earth metal oxides, lithium oxide, sodium oxide, magnesium oxide and calcium oxide; alkali metal hydrides and alkaline earth metal hydrides such as lithium hydride, sodium hydride, potassium hydride and calcium hydride; alkali metal amides and alkaline earth metal amides such as lithium amide, sodium amide, potassium amide and calcium amide; alkali metal carbonates and alkaline earth metal carbonates such as lithium carbonate and calcium carbonate; and also alkali metal hydrogen carbonates and alkaline earth metal hydrogen carbonates such as sodium hydrogen carbonate; organometallic compounds, particularly alkali-metal alkyls such as methyl lithium, butyl lithium, phenyl lithium; alkyl magnesium halides such as methyl magnesium chloride and alkali metal alkoxides and alkaline earth metal alkoxides such as sodium methoxide, sodium ethoxide, potassium ethoxide, potassium tert-butoxide and di-methoxymagnesium, further more organic bases e.g. triethylamine, triisopropylamine, and N-methylpiperidine, pyridine. Sodium hydroxide, Sodium methoxide, Sodium ethoxide, potassium hydroxide potassium carbonate and triethylamine are especially preferred. Suitably the reaction may be effected in the presence of phase transfer catalyst such as tetra-n-butylammonium hydrogen sulphate and the like. The inert atmosphere may be maintained by using inert

gases such as N_2, Ar or He. Reaction times may vary from 1 to 24 hrs, preferably from 2 to 6 hours, whereafter, if desired, the resulting compound is continued into a salt thereof.

Compounds of formula (V) are commercially available, or they may be prepared by conventional methods or by modification, using known processes, of commercially available compounds of formula (V).

N-substituted piperazines, can be prepared by acylation or alkylation of the appropriate NH-piperazine compound according to the standard procedures.

The protecting groups may be removed at a convenient subsequent stage using methods known from the art.

The compounds of the present invention may contain one or more asymmetric centers and therefore exist as stereoisomers. The stereoisomers of the compounds of the present invention may be prepared by one or more ways presented below:

i) One or more of the reagents may be used in their optically active form.

ii) Optically pure catalyst or chiral ligands along with metal catalyst may be employed in the reduction process. The metal catalyst may be Rhodium, Ruthenium, Indium and the like. The chiral ligands may preferably be chiral phosphines (Principles of Asymmetric synthesis, J. E. Baldwin Ed., Tetrahedron series, 14, 311-316).

iii) The mixture of stereoisomers may be resolved by conventional methods such as forming a diastereomeric salts with chiral acids or chiral amines, or chiral amino alcohols, chiral amino acids. The resulting mixture of diastereomers may then be separated by methods such as fractional crystallization, chromatography and the like, which is followed by an additional step of isolating the optically active product by hydrolyzing the derivative (Jacques et. al., "Enantiomers, Racemates and Resolution", Wiley Interscience, 1981).

iv) The mixture of stereoisomers may be resolved by conventional methods such as microbial resolution, resolving the diastereomeric salts formed with chiral acids or chiral bases.

Chiral acids that can be employed may be tartaric acid, mandelic acid, lactic acid, camphorsulfonic acid, amino acids and the like. Chiral bases that can be employed may be cinchona alkaloids, brucine or a basic amino acid such as lysine, arginine and the like. Examples given above for chiral acids and bass are only examples and in no circumstances limit the scope of the invention for other chiral reagents.

The pharmaceutically acceptable salts forming a part of this invention may be prepared by treating the compound of formula (I) with 1-6 equivalents of a base such as lithium, ammonia, substituted ammonia, sodium hydride, sodium methoxide, sodium ethoxide, sodium hydroxide, potassium t-butoxide, calcium hydroxide, calcium acetate, calcium chloride, magnesium hydroxide, magnesium chloride and the like. Solvents such as water, acetone, ether, THF, methanol, ethanol, t-butanol, dioxane, isopropanol, isopropyl ether or mixtures thereof may be used. Organic bases such lysine, arginine, methyl benzylamine, ethanolamine, diethanolamine, tromethamine, choline, guanidine and their derivatives may be used. Acid addition salts, wherever applicable may be prepared by treatment with acids such as tartaric acid, mandelic acid, fumaric acid, maleic acid, lactic acid, salicyclic acid, citric acid, ascorbic acid, benzene sulfonic acid, p-toluene sulfonic acid, hydroxynaphthoic acid, methane sulfonic acid, malic acid, acetic acid, benzoic acid, succinic acid, palmitic acid, oxalic acid, hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid and the like in solvents such as water, alcohols, ethers, ethyl acetate, dioxane, DMF or a lower alkyl ketone such as acetone, or the mixtures thereof.

Different polymorphs of the compounds defined in this invention of general formula (I) may be prepared by crystallization of compounds of general formula (I) under different conditions such as different solvents or solvent mixtures in varying proportions for recrystallization, various ways of crystallization such as slow cooling, fast cooling or a very fast cooling or a gradual cooling during crystallization. Different polymorphs may also be obtained by heating the compound, melting the compound and solidification by gradual or fast cooling, heating or melting under vacuum or under inert atmosphere and cooling under either vacuum or inert atmosphere. The various polymorphs may be identified by either one or more of the following techniques such as differential scanning calorimeter, powder X-ray diffraction, IR spectroscopy, solid probe NMR spectroscopy and thermal microscopy.

According to a feature of the present invention, there are novel intermediates of formula represented by general formula (II) and (IV), which are useful in the preparation of compounds of formula (I).

Another aspect of the present invention comprises of a pharmaceutical composition, containing at least one of the compounds of the general formula (I), their derivatives, their analogs, their tautomeric forms, their stereoisomers, their geometric forms, their N-oxides, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates thereof as an active ingredient, together with pharmaceutically employed carriers, auxiliaries and the like.

The pharmaceutical compositions of the present invention may be formulated in a conventional manner using one or more pharmaceutically acceptable carriers. Thus, the active compounds of the invention may be formulated for oral, buccal, intranasal, parental (e.g., intravenous, intramuscular or subcutaneous) or rectal administration or a form suitable for administration by inhalation or insufflation.

The dose of the active compounds can vary depending on factors such as the route of administration, age and weight of patient, nature and severity of the disease to be treated and similar factors. Therefore, any reference herein to a pharmacologically effective amount of the compounds of general formula (I) refers to the aforementioned factors.

For oral administration, the pharmaceutical compositions may take the form of, for example, tablets or capsules prepared by conventional means with pharmaceutically acceptable excipients such as binding agents (e.g., pregelatinised maize starch, polyvinylpyrrolidone or hydroxypropyl methylcellulose); fillers (e.g., lactose, microcrystalline cellulose or calcium phosphate); lubricants (e.g., magnesium stearate, talc or silica); disintegrants (e.g., potato starch or sodium starch glycolate); or wetting agents (e.g., sodium lauryl sulphate). The tablets may be coated by methods well known in the art. Liquid preparations for oral administration may take the form of, for example, solutions, syrups or suspensions, or they may be presented as a dry product for constitution with water or other suitable vehicle before use. Such liquid preparations may

be prepared by conventional means with pharmaceutically acceptable additives such as suspending agents (e.g., sorbitol syrup, methyl cellulose or hydrogenated edible fats); emulsifying agents (e.g., lecithin or acacia); non-aqueous vehicles (e.g., almond oil, oily esters or ethyl alcohol); and preservatives (e.g., methyl or propyl p-hydroxybenzoates or sorbic acid).

For buccal administration, the composition may take the form of tablets or lozenges formulated in conventional manner.

The active compounds of the invention may be formulated for parenteral administration by injection, including using conventional catheterization techniques or infusion. Formulations for injection may be presented in unit dosage form, e.g., in ampoules or in multi-dose containers, with an added preservative. The compositions may take such forms as suspensions, solutions or emulsions in oily or aqueous vehicles, and may contain formulating agents such as suspending, stabilizing and/or dispersing agents. Alternatively, the active ingredient may be in powder form for reconstitution with a suitable vehicle, e.g., sterile pyrogen-free water, before use.

The active compounds of the invention may also be formulated in rectal compositions such as suppositories or retention enemas, e.g., containing conventional suppository bases such as cocoa butter or other glycerides.

For intranasal administration or administration by inhalation, the active compounds of the invention are conveniently delivered in the form of an aerosol spray from a pressurized container or a nebulizer, or from a capsule using a inhaler or insufflator. In the case of a pressurized aerosol, a suitable propellant, e.g., dichlorodifluoromethane, trichlorofluoromethane, dichlorotetrafluoroethane, carbon dioxide or other suitable gas and the dosage unit may be determined by providing a valve to deliver a metered amount. The medicament for pressurized container or nebulizer may contain a solution or suspension of the active compound while for a capsule it preferably should be in the form of powder. Capsules and cartridges (made, for example, from gelatin) for use in an inhaler or insufflator may be formulated containing a powder mix of a compound of the invention and a suitable powder base such as lactose or starch.

A proposed dose of the active compounds of this invention, for either oral, parenteral, nasal or buccal administration, to an average adult human, for the treatment of the conditions referred to above, is 0.1 to 200 mg of the active ingredient per unit dose which could be administered, for example, 1 to 4 times per day.

Aerosol formulations for treatment of the conditions referred to above (e.g., migraine) in the average adult human are preferably arranged so that each metered dose or "puff" of aerosol contains 20 μg to 1000 μg of the compound of the invention. The

overall daily dose with an aerosol will be within the range 100 μg to 10 mg. Administration may be several times daily, for example 2, 3, 4 or 8 times, giving for example, 1, 2 or 3 doses each time.

The affinities of the compound of this invention for the various serotonin receptors are evaluated using standard radioligand binding assays and are described here in these specification.

Biological activity Assay methods:

Assay: $5HT_{1A}$:

Materials and Methods:

Receptor Source: Human recombinant expressed in HEK-293 cells

Radioligand: [3H]-8-OH-DPAT (221 Ci/mmol)

Final ligand concentration - [0.5 nM]

Reference Compound: 8-OH-DPAT

Positive Control: 8-OH-DPAT

Incubation Conditions: Reactions are carried out in 50 mM TRIS-HCl (pH 7.4) containing 10 mM $MgSO_4$, 0.5 mM EDTA and 0.1% Ascorbic acid at room temperature for 1 hour. The reaction is terminated by rapid vacuum filtration onto glass fiber filters. Radioactivity trapped onto the filters is determined and compared to control values in order to ascertain any interactions of test compound with the $5HT_{1A}$ binding site.

Literature Reference:

- Hoyer D., Engel G., et al. Molecular Pharmacology of $5HT_1$ and $5\text{-}HT_2$ Recognition Sites in Rat and Pig Brain Membranes: Radioligand Binding Studies with $[^3H]$-5HT, $[^3H]$-8-OH-DPAT, $[^{125}I]$-Iodocyanopindolol, $[^3H]$-Mesulergine and $[^3H]$-Ketanserin. Eur. Jrnl. Pharmacol. 118: 13-23 (1985) with modifications.

- Schoeffter P. and Hoyer D. How Selective is GR 43175? Interactions with Functional $5\text{-}HT_{1A}$, $5HT_{1B}$, $5\text{-}HT_{1C}$, and $5\text{-}HT_{1D}$ Receptors. Naunyn-Schmiedeberg's Arch. Pharmac. 340: 135-138 (1989) with modifications.

Assay: $5HT_{1B}$

Materials and Methods:

Receptor Source: Rat striatal membranes

Radioligand: $[^{125}I]$Iodocyanopindolol (2200 Ci/mmol)

Final ligand concentration - [0.15 nM]

Non-specific Determinant:Serotonin - [10 μM]

Reference Compound: Serotonin

Positive Control: Serotonin

Incubation Conditions: Reactions are carried out in 50 mM TRIS-HCl (pH 7.4) containing 60 μM (-) isoproterenol at 37^{0}C for 60 minutes. The reaction is terminated by rapid vacuum filtration onto glass fiber filters. Radioactivity trapped onto the filters is determined and compared to control values in order to ascertain any interactions of test compound with the $5HT_{1B}$ binding site.

Literature Reference:

- Hoyer D., Engel G., et al. Molecular Pharmacology of $5HT_1$ and $5\text{-}HT_2$ Recognition Sites in Rat and Pig Brain Membranes: Radioligand Binding Studies with [^{3}H]-5HT, [^{3}H]-8-OH-DPAT, [^{125}I]-Iodocyanopindolol, [^{3}H]-Mesulergine and [^{3}H]-Ketanserin. *Eur. Jml. Pharmacol.* **118:** 13-23 (1985) with modifications.
- Schoeffter P. and Hoyer D. How selective is GR 43175? Interactions with Functional $5\text{-}HT_{1A}$, $5HT_{1B}$, $5\text{-}HT_{1C}$, and $5\text{-}HT_1$ Receptors. *Naunyn-Schmiedeberg's Arch. Pharmac.* **340:** 135-138 (1989) with modifications.

Assay: $5HT_{1D}$

Materials and Methods:

Receptor Source: Human cortex

Radioligand: [^{3}H] 5-Carboxamidotryptamine (20-70 Ci/mmol)

Final ligand concentration - [2.0 nM]

Non-specific Determinant: 5-Carboxamidotryptamine (5-CT) - [1.0 μM]

Reference Compound: 5-Carboxamidotryptamine (5-CT)

Positive Control: 5-Carboxamidotryptamine (5-CT)

Incubation Conditions: Reactions are carried out in 50 mM TRIS-HCl (pH 7.7) containing 4 mM $CaCl_2$, 100 nM 8-OH-DPAT, 100 nM Mesulergine, 10 uM Pargyline and 0.1% ascorbic acid at 250C for 60 minutes. The reaction is terminated by rapid vacuum filtration onto glass fiber filters. Radioactivity trapped onto the filters is determined and compared to control values in order to ascertain any interactions of test compound with the cloned $5HT_{1D}$ binding site.

Literature Reference:

- Waeber C., Schoeffter, Palacios J.M. and Hoyer D. Molecular Pharmacology of the 5-HT_{1D} Recognition Sites: Radioligand Binding Studies in Human, Pig, and Calf Brain

Membranes. Naunyn-Schmiedeberg's Arch. Pharmacol. 337: 595-601 (1988) with modifications.

Assay: $5HT_{2A}$

Materials and Methods:

Receptor Source: Human Cortex

Radioligand: [^{3}H] Ketanserin (60-90 Ci/mmol)

Final ligand concentration - [2.0 nM]

Non-specific Determinant: Ketanserin - [3.0 μM]

Reference Compound: Ketanserin

Positive Control: Ketanserin

Incubation Conditions: Reactions are carried out in 50 mM TRIS-HCl (pH 7.5) at room temperature for 90 minutes. The reaction is terminated by rapid vacuum filtration onto glass fiber filters. Radioactivity trapped onto the filters is determined and compared to control values in order to ascertain any interactions of test compound with the $5HT_{2A}$ binding site.

Literature Reference:

- Leysen J. E., Niemegeers C. J., Van Nueten J. M. and Laduron P. M. [^{3}H]Ketanserin: A Selective Tritiated Ligand for Serotonin$_2$ Receptor Binding Sites. Mol. Pharmacol. 21: 301-314 (1982) with modifications.
- Martin, G. R. and Humphrey, P. P. A. Classification Review: Receptors for 5-HT: Current Perspectives on Classification and Nomenclature. Neuropharmacol. 33(3/4): 261-273 (1994).

Assay: $5HT_{2C}$

Materials and Methods:

Receptor Source: Pig choroid plexus membranes

Radioligand: [^{3}H] Mesulergine (50-60 Ci/mmol)

Final ligand concentration - [1.0 nM]

Non-specific Determinant: Serotonin - [100 μM]

Reference Compound: Mianserin

Positive Control: Mianserin

Incubation Conditions: Reactions are carried out in 50 mM TRIS-HCl (pH 7.7) containing 4 mM $CaCl_2$ and 0.1% ascorbic acid at 37 °C for 60 minutes. The reaction is terminated by rapid vacuum filtration onto glass fiber filters. Radioactivity trapped onto

the filters is determined and compared to control values in order to ascertain any interactions of test compound with the $5HT_{2C}$ binding site.

Literature Reference:

- A. Pazos, D. Hoyer, and J. Palacios. The Binding of Serotonergic Ligands to the Porcine Choroid Plexus: Characterization of a New Type of Serotonin Recognition Site. Eur. Jml. Pharmacol. 106: 539-546 (1985) with modifications.
- Hoyer, D., Engel, G., et al. Molecular Pharmacology of $5HT_1$ and $5\text{-}HT_2$ Recognition Sites in Rat and Pig Brain Membranes: Radioligand Binding Studies with [3H]-5HT, [3H]-8-OH-DPAT, [^{125}I]-Iodocyanopindolol, [3H]-Mesulergine and [3H]-Ketanserin. Eur. Jml. Pharmacol. 118: 13-23 (1985) with modifications.

Assay: $5HT_3$

Materials and Methods:

Receptor Source: N1E-115 cells

Radioligand:[^{3}H]-GR 65630 (30-70 Ci/mmol)

Final ligand concentration - [0.35 nM]

Non-specific Determinant: MDL-72222 - [1.0 μM]

Reference Compound: MDL-72222

Positive Control: MDL-72222

Incubation Conditions: Reactions are carried out in 20 mM HEPES (pH 7.4) containing 150 mM NaCl at 25 °C for 60 minutes. The reaction is terminated by rapid vacuum filtration onto glass fiber filters. Radioactivity trapped onto the filters is determined and compared to control values in order to ascertain any interactions of test compound with the $5HT_3$ binding site.

Literature Reference:

- Lummis S. C. R., Kilpatrick G. J. Characterization of $5HT_3$ Receptors in Intact N1E-115 Neuroblastoma Cells. Eur. Jml. Pharmacol. 189: 223-227 (1990) with modifications.

- Hoyer D. and Neijt H. C. Identification of Serotonin $5\text{-}HT_3$ Recognition Sites in Membranes of N1E-115 Neuroblastoma Cells by Radioligand Binding. Mol. Pharmacol. 33: 303 (1988).

- Tyers M. B. 5-HT_3 Receptors and the Therapeutic Potential of $5HT_3$ Receptor Antagonists. Therapie. 46: 431-435 (1991).

Assay: $5HT_4$

Materials and Methods:

Receptor Source: Guinea pig striatal membranes

Radioligand: [^{3}H] GR-113808 (30-70 Ci/mmol)

Final ligand concentration - [0.2 nM]

Non-specific Determinant: Serotonin (5-HT) - [30 μM]

Reference Compound: Serotonin (5-HT)

Positive Control: Serotonin (5-HT)

Incubation Conditions: Reactions are carried out in 50 mM HEPES (pH 7.4) at 370C for 60 minutes. The reaction is terminated by rapid vacuum filtration onto glass fiber filters. Radioactivity trapped onto the filters is determined and compared to control values in order to ascertain any interactions of test compound with the $5HT_4$ binding site.

Literature Reference:

- Grossman Kilpatrick, C., et al. Development of a Radioligand Binding Assay for $5HT_4$ Receptors in Guinea Pig and Rat Brain. Brit. Jrnl. Phamacol. 109: 618-624 (1993).

Assay: $5HT_{5A}$

Materials and Methods:

Receptor Source: Human recombinant expressed in HEK 293 cells

Radioligand: [^{3}H] LSD (60-87 Ci/mmol)

Final ligand concentration - [1.0 nM]

Non-specific Determinant: Methiothepin mesylate - [1.0 μM]

Reference Compound: Methiothepin mesylate

Positive Control: Methiothepin mesylate

Incubation Conditions: Reactions are carried out in 50 mM TRIS-HCl (pH 7.4) containing 10 mM $MgSO_4$ and 0.5 mM EDTA at 37 °C for 60 minutes. The reaction is terminated by rapid vacuum filtration onto glass fiber filters. Radioactivity trapped onto the filters is determined and compared to control values in order to ascertain any interactions of test compound with the cloned $5HT_{5A}$ binding site.

Literature Reference:

- Rees S., et al. FEBS Letters, 355: 242-246 (1994) with modifications

Assay: $5HT_6$

Materials and Methods:

Receptor Source: Human recombinant expressed in HEK293 cells

Radioligand: [^{3}H]LSD (60-80 Ci/mmol)

Final ligand concentration - [1.5 nM]

Non-specific Determinant: Methiothepin mesylate - [0.1 μM]

Reference Compound: Methiothepin mesylate

Positive Control: Methiothepin mesylate

Incubation Conditions: Reactions are carried out in 50 mM TRIS-HCl (pH 7.4) containing 10 mM $MgCl_2$, 0.5 mM EDTA for 60 minutes at 37 °C. The reaction is terminated by rapid vacuum filtration onto glass fiber filters. Radioactivity trapped onto the filters is determined and compared to control values in order to ascertain any interactions of test compound(s) with the cloned serotonin - $5HT_6$ binding site.

Literature Reference:

- Monsma F. J. Jr., et al., Molecular Cloning and Expression of Novel Serotonin Receptor with High Affinity for Tricyclic Psychotropic Drugs. Mol. Pharmacol. (43): 320-327 (1993).

Assay: $5\text{-}HT_7$

Materials and Methods:

Receptor Source: Human recombinant expressed in CHO cells

Radioligand: [^{3}H]LSD (60-80 Ci/mmol)

Final ligand concentration - [2.5 nM]

Non-specific Determinant: 5-carboxamidotryptamine (5-CT) - [0.1 μM]

Reference Compound: 5-carboxamidotryptamine

Positive Control: 5-carboxamidotryptamine

Incubation Conditions: Reactions are carried out in 50 mM TRIS-HCl (pH 7.4) containing 10 mM $MgCl_2$, 0.5 mM EDTA for 60 minutes at 37 °C. The reaction is terminated by rapid vacuum filtration onto glass fiber filters. Radioactivity trapped onto the filters is determined and compared to control values in order to ascertain any interactions of test compound(s) with the cloned serotonin - $5HT_7$ binding site.

Literature Reference:

- Y. Shen, E. Monsma, M. Metcalf, P. Jose, M Hamblin, D. Sibley, Molecular Cloning and Expression of a 5-hydroxytryptamine7 Serotonin Receptor Subtype. J. Biol. Chem. 268: 18200-18204.

The following examples illustrate the preparation of the compounds of the present invention. These are provided by the way of illustration only and therefore should not be construed to limit the scope of the invention. Commercial reagents were utilized without further purification. Room temperature refers to 25 - 30 °C. Melting points are uncorrected. IR spectra were taken using KBr and in solid state. Unless otherwise stated, all mass spectra were carried out using ESI conditions. ^{1}H NMR spectra were recorded at 200 MHz on a Bruker instrument. Deuterated chloroform (99.8 % D) was used as solvent. TMS was used as internal reference standard. Chemical shift values are expressed in are reported in parts per million (δ)-values. The following abbreviations are used for the multiplicity for the NMR signals: s=singlet, bs=broad singlet, d=doublet, t=triplet, q=quartet, qui=quintet, h=heptet, dd=double doublet, dt=double triplet, tt=triplet of triplets, m=multiplet. NMR, mass were corrected for background peaks. Specific rotations were measured at room temperature using the sodium D (589 nm). Chromatography refers to column chromatography performed using 60 – 120 mesh silica gel and executed under nitrogen pressure (flash chromatography) conditions.

Description 1

1-Benzenesulfonyl-1H-indole-3-carboxaldehyde

A stirred solution of 1H-indole-3-carboxaldehyde (1 g, 6.89 mmol), in DMF (25 mL) was treated with sodium hydride (0.357 g, 60% in mineral oil, 8.95 mmol) under nitrogen at room temperature, stirred for 30 minutes, treated with benzene sulfonyl chloride (1.09 mL, 8.25 mmol), stirred at room temperature for 3-5 hrs. After the completion of reaction (T. L. C.), the reaction mixture was quenched with 25 mL ice-cold water and diluted with 25 mL ethyl acetate. The organic phase was separated, washed sequentially with water and brine, dried over anhydrous $MgSO_4$ and concentrated *in vacuo*. The resultant residue was purified by flash chromatography (silica gel, EtOAc/Hexane, 2/8) to afford the title compound as an off-white foam, which was latter identified by IR, NMR and mass spectral data.

Description 2 - 48 (D2 – D50)

Using essentially the same procedure described in description 1 hereinabove, the compounds given in the list 1 below were obtained by employing either an appropriate indole-3-carboxaldehyde or 3-acetylindole and substituted arylsulfonylchloride. The compounds obtained were identified by IR, NMR and mass spectral data.

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List – 1

	Description	Mass Ion $(M+H)^+$
D 1	1-Benzenesulfonyl-1H-indole-3-carboxaldehyde	286
D 2	1-Benzenesulfonyl-5-bromo-1H-indole-3-carboxaldehyde	364
D 3	1-Benzenesulfonyl-5-chloro-1H-indole-3-carboxaldehyde	320
D 4	1-Benzenesulfonyl-5-methoxy-1H-indole-3-carboxaldehyde	316
D 5	1-Benzenesulfonyl-5-nitro-1H-indole-3-carboxaldehyde	331
D 6	1-(4-Methylbenzenesulfonyl)-1H-indole-3-carboxaldehyde	300
D 7	5-Bromo-1-(4-methylbenzenesulfonyl)-1H-indole-3-carboxaldehyde	378
D 8	5-Chloro-1-(4-methylbenzenesulfonyl)-1H-indole-3-carboxaldehyde	334
D 9	1-(4-Methylbenzenesulfonyl)-5-methoxy-1H-indole-3-carboxaldehyde	330
D 10	1-(4-Methylbenzenesulfonyl)-5-nitro-1H-indole-3-carboxaldehyde	345
D 11	1-(4-Methoxybenzenesulfonyl)-1H-indole-3-carboxaldehyde	316
D 12	5-Bromo-1-(4-methoxybenzenesulfonyl)-1H-indole-3-carboxaldehyde	394
D 13	5-Chloro1-(4-methoxybenzenesulfonyl)-1H-indole-3-carboxaldehyde	350
D 14	1-(4-Methoxybenzenesulfonyl)-5-methoxy-1H-indole-3-carboxaldehyde	346
D 15	1-(4-Methoxybenzenesulfonyl)-5-nitro-1H-indole-3-carboxaldehyde	361
D 16	1-(4-Fluorobenzenesulfonyl)-1H-indole-3-carboxaldehyde	304
D 17	5-Bromo-1-(4-fluorobenzenesulfonyl)-1H-indole-3-carboxaldehyde	382
D 18	5-Chloro-1-(4-fluorobenzenesulfonyl)-1H-indole-3-carboxaldehyde	338
D 19	1-(4-Fluorobenzenesulfonyl)-5-methoxy-1H-indole-3-carboxaldehyde	334
D 20	1-(4-Fluorobenzenesulfonyl)-5-nitro-1H-indole-3-carboxaldehyde	349
D 21	1-(4-Bromobenzenesulfonyl)-1H-indole-3-carboxaldehyde	364
D 22	5-Bromo-1-(4-bromobenzenesulfonyl)-1H-indole-3-carboxaldehyde	442
D 23	1-(4-Bromobenzenesulfonyl)-5-chloro-1H-indole-3-carboxaldehyde	398

D 24	1-(4-Bromobenzenesulfonyl)-5-methoxy-1H-indole-3-carboxaldehyde	394
D 25	1-(4-Bromobenzenesulfonyl)-5-nitro-1H-indole-3-carboxaldehyde	409
D 26	1-(4-Isopropylbenzenesulfonyl)-1H-indole-3-carboxaldehyde	328
D 27	5-Bromo-1-(4-isopropylbenzenesulfonyl)-1H-indole-3-carboxaldehyde	406
D 28	5-Chloro-1-(4-isopropylbenzenesulfonyl)-1H-indole-3-carboxaldehyde	362
D 29	1-(4-Isopropylbenzenesulfonyl)-5-methoxy-1H-indole-3-carboxaldehyde	358
D 30	1-(4-Isopropylbenzenesulfonyl)-5-nitro-1H-indole-3-carboxaldehyde	373
D 31	1-(2-Bromobenzenesulfonyl)-1H-indole-3-carboxaldehyde	364
D 32	5-Bromo-1-(2-bromobenzenesulfonyl)-1H-indole-3-carboxaldehyde	442
D 33	1-(2-Bromobenzenesulfonyl)-5-chloro-1H-indole-3-carboxaldehyde	398
D 34	1-(2-Bromobenzenesulfonyl)-5-methoxy-1H-indole-3-carboxaldehyde	394
D 35	1-(2-Bromobenzenesulfonyl)-5-nitro-1H-indole-3-carboxaldehyde	409
D 36	1-(2-Bromo-4-methoxybenzenesulfonyl)-1H-indole-3-carboxaldehyde	394
D 37	5-Bromo-1-(2-bromo-4-methoxybenzenesulfonyl)-1H-indole-3-carboxaldehyde	472
D 38	1-(2-Bromo-4-methoxybenzenesulfonyl)-5-chloro-1H-indole-3-carboxaldehyde	428
D 39	1-(2-Bromo-4-methoxybenzenesulfonyl)-5-methoxy-1H-indole-3-carboxaldehyde	424
D 40	1-(2-Bromo-4-methoxybenzenesulfonyl)-5-nitro-1H-indole-3-carboxaldehyde	439
D 41	1-(3,5-Dimethyl-3H-isoxazole-2-sulfonyl)-1H-indole-3-carbaldehyde	305
D 42	5-Bromo-1-(3,5-dimethyl-3H-isoxazole-2-sulfonyl)-1H-indole-3-carboxaldehyde	382
D 43	5-Chloro-1-(3,5-dimethylisoxazole-4-sulfonyl)-1H-indole-3-carboxaldehyde	339
D 44	1-(3,5-Dimethylisoxazole-4-sulfonyl)-5-methoxy-1H-indole-3-carboxaldehyde	335
D 45	1-(3,5-Dimethylisoxazole-4-sulfonyl)-5-nitro-1H-indole-3-carboxaldehyde	350

D 46	1-(1-Benzenesulfonyl-1H-indol-3-yl)ethanone	300
D 47	1-(5-Bromo-1-benzenesulfonyl-1H-indol-3-yl)ethanone	378
D 48	1-(1-(4-Methylbenzenesulfonyl)-1H-indol-3-yl)ethanone	330
D 49	1-(1-(4-Methoxybenzenesulfonyl)-1H-indol-3-yl)ethanone	330
D 50	1-(1-(4-Isopropylbenzenesulfonyl)-1H-indol-3-yl)ethanone	342

Description 51

1-Benzenesulfonyl-1H-indol-3-ylmethanol (D 51)

In a three necked round bottom flask equipped with pressure equalizing funnel, 1-Benzenesulfonyl-1H-indole-3-carboxaldehyde (D1, 2.86 g, 0.01 mole) and dichloromethane (8 mL) were taken. Sodiumborohydride (0.005 - 0.01 mole) was added slowly at room temperature and the reaction mixture was stirred well for next 3-4 hours. After the completion of reaction (TLC, 3 – 5 hours), the product was isolated by distillation under reduced pressure. The residue was extracted with ethyl acetate (2 x 25 mL). The combined organic extracts were washed with water, followed by brine, dried over anhydrous sodium sulfate. The organic layer was evaporated under vacuum. The residue was generally an oily liquid, which was isolated and purified by flash chromatography (silica gel, EtOAc/Hexane, 2/8) to afford the title compound, which was identified by IR, NMR and mass spectral analyses.

Description 52 - 100 (D52 – D100)

Using essentially the same procedure described in description 51 hereinabove and employing an appropriate arylsulfonylindolyl-3-carboxaldehyde (D2 – D50) along with sodium hydride other derivatives were prepared and identified by IR, NMR and mass spectral analyses. The compounds, thus prepared, are given in the list 2 below.

List – 2

	Description	Mass Ion $(M-H)^-$
D 51	1-Benzenesulfonyl-1H-indol-3-ylmethanol	286
D 52	1-Benzenesulfonyl-5-bromo-1H-indole-3-ylmethanol	364
D 53	1-Benzenesulfonyl-5-chloro-1H-indole-3-ylmethanol	320
D 54	1-Benzenesulfonyl-5-methoxy-1H-indole-3-ylmethanol	316
D 55	1-Benzenesulfonyl-5-nitro-1H-indole-3-ylmethanol	331
D 56	1-(4-Methylbenzenesulfonyl)-1H-indole-3-ylmethanol	300
D 57	5-Bromo-1-(4-methylbenzenesulfonyl)-1H-indole-3-ylmethanol	378

D 58	5-Chloro-1-(4-methylbenzenesulfonyl)-1H-indole-3-ylmethanol	334
D 59	1-(4-Methylbenzenesulfonyl)-5-methoxy-1H-indole-3-ylmethanol	330
D 60	1-(4-Methylbenzenesulfonyl)-5-nitro-1H-indole-3-ylmethanol	345
D 61	1-(4-Methoxybenzenesulfonyl)-1H-indole-3-ylmethanol	316
D 62	5-Bromo-1-(4-methoxybenzenesulfonyl)-1H-indole-3-ylmethanol	394
D 63	5-Chloro1-(4-methoxybenzenesulfonyl)-1H-indole-3-ylmethanol	350
D 64	1-(4-Methoxybenzenesulfonyl)-5-methoxy-1H-indole-3-ylmethanol	346
D 65	1-(4-Methoxybenzenesulfonyl)-5-nitro-1H-indole-3-ylmethanol	361
D 66	1-(4-Fluorobenzenesulfonyl)-1H-indole-3-ylmethanol	304
D 67	5-Bromo-1-(4-fluorobenzenesulfonyl)-1H-indole-3-ylmethanol	382
D 68	5-Chloro-1-(4-fluorobenzenesulfonyl)-1H-indole-3-ylmethanol	338
D 69	1-(4-Fluorobenzenesulfonyl)-5-methoxy-1H-indole-3-ylmethanol	334
D 70	1-(4-fluorobenzenesulfonyl)-5-nitro-1H-indole-3-ylmethanol	349
D 71	1-(4-Bromobenzenesulfonyl)-1H-indole-3-ylmethanol	364
D 72	5-Bromo-1-(4-bromobenzenesulfonyl)-1H-indole-3-ylmethanol	442
D 73	1-(4-Bromobenzenesulfonyl)-5-chloro-1H-indole-3-ylmethanol	398
D 74	1-(4-Bromobenzenesulfonyl)-5-methoxy-1H-indole-3-ylmethanol	363
D 75	1-(4-Bromobenzenesulfonyl)-5-nitro-1H-indole-3-ylmethanol	409
D 76	1-(4-Isopropylbenzenesulfonyl)-1H-indole-3-ylmethanol	328
D 77	5-Bromo-1-(4-isopropylbenzenesulfonyl)-1H-indole-3-ylmethanol	406
D 78	5-Chloro-1-(4-isopropylbenzenesulfonyl)-1H-indole-3-ylmethanol	362
D 79	1-(4-Isopropylbenzenesulfonyl)-5-methoxy-1H-indole-3-ylmethanol	368
D 80	1-(4-Isopropylbenzenesulfonyl)-5-nitro-1H-indole-3-ylmethanol	373
D 81	1-(2-Bromobenzenesulfonyl)-1H-indole-3-ylmethanol	364
D 82	5-Bromo-1-(2-bromobenzenesulfonyl)-1H-indole-3-ylmethanol	442
D 83	1-(2-Bromobenzenesulfonyl)-5-chloro-1H-indole-3-ylmethanol	398
D 84	1-(2-Bromobenzenesulfonyl)-5-methoxy-1H-indole-3-ylmethanol	394
D 85	1-(2-Bromobenzenesulfonyl)-5-nitro-1H-indole-3-ylmethanol	409
D 86	1-(2-Bromo-4-methoxybenzenesulfonyl)-1H-indole-3-ylmethanol	393
D 87	5-Bromo-1-(2-bromo-4-methoxybenzenesulfonyl)-1H-indole-3-ylmethanol	472
D 88	1-(2-Bromo-4-methoxybenzenesulfonyl)-5-chloro-1H-indole-3-ylmethanol	428
D 89	1-(2-Bromo-4-methoxybenzenesulfonyl)-5-methoxy-1H-indole-3-ylmethanol	424

D 90	1-(2-Bromo-4-methoxybenzenesulfonyl)-5-nitro-1H-indole-3-ylmethanol	439
D 91	1-(3,5-dimethylisoxazole-4-sulfonyl)-1H-indole-3-ylmethanol	305
D 92	5-Bromo-1-(3,5-dimethylisoxazole-4-sulfonyl)-1H-indole-3-ylmethanol	382
D 93	5-Chloro-1-(3,5-dimethylisoxazole-4-sulfonyl)-1H-indole-3-ylmethanol	339
D 94	1-(3,5-Dimethylisoxazole-4-sulfonyl)-5-methoxy-1H-indole-3-ylmethanol	335
D 95	1-(3,5-Dimethylisoxazole-4-sulfonyl)-5-nitro-1H-indole-3-ylmethanol	350
D 96	(RS) 1-(1-Benzenesulfonyl-1H-indol-3-yl)ethan-1-ol **	283*
D 97	(RS) 1-(5-Bromo-1-benzenesulfonyl-1H-indol-3-yl)ethan-1-ol **	361*
D 98	(RS) 1-(1-(4-Methylbenzenesulfonyl)-1H-indol-3-yl)ethan-1-ol **	297 *
D 99	(RS) 1-(1-(4-Methoxybenzenesulfonyl)-1H-indol-3-yl)ethan-1-ol **	313 *
D 100	(RS) 1-(1-(4-Isopropylbenzenesulfonyl)-1H-indol-3-yl)ethan-1-ol **	325 *

* Molecular ion obtained corresponded to (M-18).

** The chiral intermediates obtained herein, may be separated by using known procedures as described earlier.

Description 101 (D101)

1-Benzenesulfonyl-3-chloromethyl-1H-indole.

In a three necked round bottom flask equipped with pressure equalizing funnel, substituted (1-Benzenesulfonyl-1H-indol-3-yl)methanol (D51, 2.87 g, 0.01 mole) and dichloromethane (8 mL) were taken. Thionyl chloride (1.584 g, 0.012 mole) was added slowly at room temperature and the reaction mixture was stirred well for one hour. After the completion of reaction (TLC), the product was isolated by distillation under reduced pressure. The residue was extracted with ethyl acetate (2 x 25 mL). The combined organic extracts were washed with water, followed by brine, dried over anhydrous sodium sulfate. The organic layer was evaporated under vacuum. The residue obtained was further triturated with n-hexane to afford a solid material, which was identified by IR, NMR and mass spectral analyses as the title compound.

Description 102 - 150 (D102 - D150)

Using essentially the same procedure described in description 101 hereinabove and employing appropriately substituted arylsulfonylindolyl methanol (prepared as given in

D51 – D100), the corresponding chloro compounds were prepared, and are given in the list 3 below. These compounds were identified by IR, NMR and mass spectral analyses.

List – 3

	Description	Mass Ion $(M+H)^+$
D 101	1-Benzenesulfonyl-3-chloromethyl-1H-indole	306
D 102	1-Benzenesulfonyl-5-bromo-3-chloromethyl-1H-indole	384
D 103	1-Benzenesulfonyl-5-chloro-3-chloromethyl-1H-indole	340
D 104	1-Benzenesulfonyl-5-methoxy-3-chloromethyl-1H-indole	336
D 105	1-Benzenesulfonyl-5-nitro-3-chloromethyl-1H-indole	351
D 106	1-(4-Methylbenzenesulfonyl)-3-chloromethyl-1H-indole	320
D 107	5-Bromo-1-(4-methylbenzenesulfonyl)-3-chloromethyl-1H-indole	398
D 108	5-Chloro-1-(4-methylbenzenesulfonyl)-3-chloromethyl-1H-indole	354
D 109	1-(4-Methylbenzenesulfonyl)-5-methoxy-3-chloromethyl-1H-indole	350
D 110	1-(4-Methylbenzenesulfonyl)-5-nitro-3-chloromethyl-1H-indole	365
D 111	1-(4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole	336
D 112	5-Bromo-1-(4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole	414
D 113	5-Chloro1-(4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole	370
D 114	1-(4-methoxybenzenesulfonyl)-5-methoxy-3-chloromethyl-1H-indole	366
D 115	1-(4-methoxybenzenesulfonyl)-5-nitro-3-chloromethyl-1H-indole	381
D 116	1-(4-Fluorobenzenesulfonyl)-3-chloromethyl-1H-indole	324
D 117	5-Bromo-1-(4-fluorobenzenesulfonyl)-3-chloromethyl-1H-indole	402
D 118	5-Chloro-1-(4-fluorobenzenesulfonyl)-3-chloromethyl-1H-indole	358
D 119	1-(4-Fluorobenzenesulfonyl)-5-methoxy-3-chloromethyl-1H-indole	354
D 120	1-(4-fluorobenzenesulfonyl)-5-nitro-3-chloromethyl-1H-indole	369
D 121	1-(4-Bromobenzenesulfonyl)-3-chloromethyl-1H-indole	384
D 122	5-Bromo-1-(4-bromobenzenesulfonyl)-3-chloromethyl-1H-indole	462
D 123	1-(4-Bromobenzenesulfonyl)-5-chloro-3-chloromethyl-1H-indole	418
D 124	1-(4-Bromobenzenesulfonyl)-5-methoxy-3-chloromethyl-1H-indole	414
D 125	1-(4-Bromobenzenesulfonyl)-5-nitro-3-chloromethyl-1H-indole	429
D 126	1-(4-Isopropylbenzenesulfonyl)-3-chloromethyl-1H-indole	348
D 127	5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-chloromethyl-1H-indole	426
D 128	5-Chloro-1-(4-isopropylbenzenesulfonyl)-3-chloromethyl-1H-	382

	indole	
D 129	1-(4-Isopropylbenzenesulfonyl)-5-methoxy-3-chloromethyl-1H-indole	388
D 130	1-(4-Isopropylbenzenesulfonyl)-5-nitro-3-chloromethyl-1H-indole	393
D 131	1-(2-Bromobenzenesulfonyl)-3-chloromethyl-1H-indole	384
D 132	5-Bromo-1-(2-bromobenzenesulfonyl)-3-chloromethyl-1H-indole	462
D 133	1-(2-Bromobenzenesulfonyl)-5-chloro-3-chloromethyl-1H-indole.	418
D 134	1-(2-Bromobenzenesulfonyl)-5-methoxy-3-chloromethyl-1H-indole	414
D 135	1-(2-Bromobenzenesulfonyl)-5-nitro-3-chloromethyl-1H-indole	429
D 136	1-(2-Bromo-4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole	414
D 137	5-Bromo-1-(2-bromo-4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole	492
D 138	1-(2-Bromo-4-methoxybenzenesulfonyl)-5-chloro-3-chloromethyl-1H-indole	448
D 139	1-(2-Bromo-4-methoxybenzenesulfonyl)-5-methoxy-3-chloromethyl-1H-indole	444
D 140	1-(2-Bromo-4-methoxybenzenesulfonyl)-5-nitro-3-chloromethyl-1H-indole	459
D 141	1-(3,5-dimethylisoxazole-4-sulfonyl)-3-(1-chloromethyl)-1H-indole	325
D 142	5-Bromo-1-(3,5-dimethylisoxazole-4-sulfonyl)-3-(1-chloromethyl)-1H-indole	402
D 143	5-Chloro-1-(3,5-dimethylisoxazole-4-sulfonyl)-3-(1-chloromethyl)-1H-indole	359
D 144	1-(3,5-Dimethylisoxazole-4-sulfonyl)-5-methoxy-3-(1-chloromethyl)-1H-indole	355
D 145	1-(3,5-Dimethylisoxazole-4-sulfonyl)-5-nitro-3-(1-chloromethyl)-1H-indole	370
D 146	(R,S) 1-Benzenesulfonyl-3-(1-chloroethyl)-1H-indole **	320
D 147	(R,S) 5-Bromo-1-benzenesulfonyl-3-(1-chloroethyl)-1H-indole**	398
D 148	(R,S) 1-(4-Methylbenzenesulfonyl)-3-(1-chloroethyl)-1H-indole**	334
D 149	(R,S) 1-(4-Methyoxybenzenesulfonyl)-3-(1-chloroethyl)-1H-indole**	350
D 150	(RS) 1-(4-Isopropylbenzenesulfonyl)-3-(1-chloroethyl)-1H-indole**	362

** If desired, the chiral intermediates may be separated by using known procedures in the art as described earlier.

Description 151 (D151)

3-(4-Methylpiperazin-1-ylmethyl)-1H-indole

In a three necked round bottom flask equipped with pressure equalizing funnel, indole (1.17 g, 0.01 mole) and dichloromethane (8 mL) were taken. 1-Methylpiperazine (1.01g, 0.011 moles) and formaldehyde (9 mL, 0.012 mole) was added slowly at room temperature and the reaction mixture was stirred well for one hour. After the completion of reaction (TLC), the product was isolated by distillation under reduced pressure. The residue was extracted with ethyl acetate (2 x 25 mL). The combined organic extracts were washed with water, followed by brine, dried over anhydrous sodium sulfate. The organic layer was evaporated under vacuum. The residue could either be an oily liquid or solid mass. The oily mass was triturated with n-hexane to obtain a solid material. The solid obtained was identified by IR, NMR and mass spectral analyses.

Description 152 - 173 (D152 - D173)

Using essentially the same procedure described in description 151 hereinabove and employing appropriately substituted indole along with either of substituted alkyl piperazine, substituted aryl piperazine, N,N,N'-trimethylethylene-1,2-diamine or homopiperazine compounds given in the list 4 were prepared. The structure of compounds thus obtained were confirmed by IR, NMR and mass spectral analyses.

Similarly unsubstituted piperazine can be prepared which may be needed to be protected later prior to sulfonylation.

List – 4

	Description	Mass Ion $(M+H)^+$
D 151	3-(4-Methylpiperazin-1-ylmethyl)-1H-indole	230
D 152	5-Bromo-3-(4-methylpiperazin-1-ylmethyl)-1H-indole	308
D 153	5-Chloro-3-(4-methylpiperazin-1-ylmethyl)-1H-indole	264
D 154	5-Methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole	260
D 155	5-Nitro-3-(4-methylpiperazin-1-ylmethyl)-1H-indole	273
D 156	3-(4H-Piperazin-1-ylmethyl)-1H-indole	216
D 157	3-(4-(1-Methoxyphen-2-yl)piperazin-1-ylmethyl)-1H-indole	322
D 158	5-Bromo-3-(4-(1-methoxyphen-2-yl)piperazin-1-ylmethyl)-1H-indole	408

D 159	5-Methoxy-3-(4-(1-methoxyphen-2-yl)piperazin-1-ylmethyl)-1H-indole	352
D 160	3-(4-(Pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole	293
D 161	5-Bromo-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole	371
D 162	5-Methoxy-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole	323
D 163	5-Chloro-2-methyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole	327
D 164	N-(1H-Indol-3-ylmethyl)-N,N',N'-trimethylethylene-1,2-diamine	232
D 165	5-Bromo-N-(1H-indol-3-ylmethyl)-N,N',N'-trimethylethylene-1,2-diamine	310
D 166	5-Nitro-N-(1H-indol-3-ylmethyl)-N,N',N'-trimethylethylene-1,2-diamine	275
D 167	3-(4-Methylpiperazin-1-ylmethyl)-2-methyl-1H-indole	244
D 168	5-Fluoro-3-(4-methylpiperazin-1-ylmethyl)- 2-methyl-1H-indole	262
D 169	5-Chloro-3-(4-methylpiperazin-1-ylmethyl)- 2-methyl-1H-indole	278
D 170	3-(4-Methylpiperazin-1-ylmethyl)-2-phenyl-1H-indole	306
D 171	5-Fluoro-3-(4-methylpiperazin-1-ylmethyl)- 2-phenyl-1H-indole	324
D 172	5-Chloro-3-(4-methylpiperazin-1-ylmethyl)- 2-phenyl-1H-indole	340
D 173	3-[1,4]Diazepan-1-ylmethyl-1H-indole	

Description 174

(R,S) α-(1H-indol-3-yl)-α-(4-methylpiperazin-1-yl)acetonitrile

To indole-3-carboxaldehyde (2 g, 0.0137 moles), sodium bisulfite (1.5 g, 0.015 moles) dissolved in 20 mL water was added and stirred for 1 hr. N-methylpiperazine (1.015 g, 0.015 moles) and sodium cyanide (0.54 g, 0.014 moles) was added at room temperature and the reaction mixture was stirred well for next 12 hrs. After the completion of reaction (TLC), the product was isolated by filtration. The filtrate was extracted with ethyl acetate (2 x 25 mL). The combined organic extracts were washed with water, followed by brine, dried over anhydrous sodium sulfate. The organic layer was evaporated under vacuum. The residue obtained was further purified by flash chromatography (silica gel, EtOAc/Hexane, 1/1) to afford a solid material, which was identified by IR, NMR and mass spectral analyses as the title compound.

Description 175 - 178 (D175 - D178)

Using essentially the same procedure described in description 174 hereinabove and employing appropriately substituted indole along with either of substituted / unsubstituted piperazine or N,N,N'-trimethyl ethylene-1,2-diamine, compounds given in

the list 5 were prepared. The structure of compounds were confirmed latter by IR, NMR and mass spectral analyses.

List – 5

	Description	Mass Ion $(M+H)^+$
D 174	(R,S) α-(1H-indol-3-yl)-α-(4-methylpiperazin-1-yl)acetonitrile	255
D 175	(R,S) α-(5-Bromo-1H-indol-3-yl)-α-(4-methylpiperazin-1-yl)acetonitrile	333
D 176	(R,S) α-(5-Chloro-1H-indol-3-yl)-α-(4-methylpiperazin-1-yl)acetonitrile	289
D 177	(R,S) α-(5-Methoxy-1H-indol-3-yl)-α-(4-methylpiperazin-1-yl)acetonitrile	285
D 178	(R,S) α-(5-Nitro-1H-indol-3-yl)-α-(4-methylpiperazin-1-yl)acetonitrile	300

Description 179 - 183 (D179 - D183)

In order to prepare various derivatives of aryl sulfonylindoles, compounds from D106 to D110 which are essentially tosyl derivatives of differently substituted indol-3-ylmethylenechloride are first deprotected using the known procedures in the art.

1-(4-Methylbenzenesulfonyl)-3-chloromethyl-1H-indole (3.19 g, 0.01 moles) was refluxed in 10 % NaOH in ethanol for 5 - 15 hours. After the completion of reaction (TLC, 3 – 5 hours), water was added and the residue was extracted with ethyl acetate (2 x 25 mL). The combined organic extracts were washed with water, followed by brine, dried over anhydrous sodium sulfate. The organic layer was evaporated under vacuum. The residue, if needed was purified by flash chromatography (silica gel, EtOAc/Hexane, 2/8) to afford the title compound, which was identified by IR, NMR and mass spectral analyses.

List - 6

	Description	Mass Ion $(M+H)^+$
D 179	3-Chloromethyl-1H-indole	166

D 180	5-Bromo-3-chloromethyl-1H-indole	244
D 181	5-Chloro-3-chloromethyl-1H-indole	200
D 182	5-Methoxy-3-chloromethyl-1H-indole	196
D 183	5-Nitro-3-chloromethyl-1H-indole	211

Description 184

3-(4-(Benzyloxycarbonyl)piperazin-1-ylmethyl)-1H-indole

Piperazinyl nitrogen in compound (D156) was selectively protected using BOC, according to the procedures known to the art. **List - 7**

		Description Mass Ion
		$(M+H)^+$
D 184	3-(4-(Benzyloxycarbonyl)piperazin-1-ylmethyl)-1H-indole	350

Description 185 (D185)

(1H-Indol-3-yl)-(4-methylpiperazin-1-yl)methanone

1H-Indole-3-carboxylic acid (1.61 g, 0.01 moles) was stirred with oxalyl chloride (0.99 g, 0.011moles) in 20 mL dichloromethane at 0 to 25 °C for 3 - 4 hours. After completion of the reaction (TLC), volatile substances were distilled off under the reduced pressure. The residue was taken in 20 mL dichloroethane and to this stirred solution, was added N-methylpiperazine (1.1 g, 0.011moles). The reaction mixture was further stirred for next 3 - 5 hours, till the reaction completes (TLC). Reaction mixture was diluted with dichloromethane 20 mL), washed with water, brine and saturated solution of sodium bicarbonate. The organic layer was dried over sodium sulfate and the organic solvents were evaporated under vacuo. The product was purified using column chromatography on silica gel G stationary phase and suitable combinations of ethyl acetate and methanol in increasing gradient, as the mobile phase.

Description 186 - 187 (D186 - D187)

Using essentially the same procedure described in description 185 hereinabove and employing appropriately substituted indole-3-carboxylic acid with substituted alkyl piperazine or N,N,N'-trimethyl ethylene-1,2-diamine, compounds given in the list 8 were prepared. The structures of compounds, thus obtained, were confirmed by IR, NMR and mass spectral analyses.

List - 8

	Description	Mass Ion (M+H)$^+$
D 185	(1H-Indol-3-yl)-(4-methylpiperazin-1-yl)methanone	244
D 186	(5-Nitro-1H-indol-3-yl)-(4-methylpiperazin-1-yl)methanone	289
D 187	1H-Indole-3-carboxylic acid N-(N',N'-dimethylaminoethyl)-N-methylamide	246

Description 188 (D188)

3-(4-Methylpiperazin-1-ylmethyl)-1H-indole (also, D151)

(1H-Indol-3-yl)-(4-methylpiperazin-1-yl)methanone (2.44 g, 0.01 moles) in THF was treated with cooled and stirred suspension of Lithium aluminum hydride (g, 0.011moles in THF slowly over the period of 2 to 5 hours, the reaction mixture was heated to reflux for 2 - 4 hours, after the completion of reaction, the reaction mixture was poured on to the ice and the compound was extracted in ethyl acetate. The residue obtained was purified by flash chromatography (silica gel, EtOAc/Hexanes, 2/8) to afford the compound, which was identified by IR, NMR and mass spectral analyses as the title compound.

Description 189 - 190 (D189 - D190)

Using essentially the same procedure described in description 187 the compounds obtained in Description 184 –186 were reduced to the corresponding derivatives. The list of compounds, thus obtained, is given below. The structure of compounds, thus obtained, were confirmed by IR, NMR and mass spectral analyses.

List - 9

	Description	Mass Ion (M+H)$^+$
D 188	3-(4-Methylpiperazin-1-ylmethyl)-1H-indole	230
D 189	3-(4-Methylpiperazin-1-ylmethyl)-5-nitro-1H-indole	275
D 190	N-(1H-Indol-3-ylmethyl)-N,N',N'-trimethyl-ethylene-1,2-diamine	232

Example - 1 : **1-Benzenesulfonyl-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole**

1-Benzenesulfonyl-3-chloromethyl-5-nitro-1H-indole (3.5 g, 0.01 mole) and triethylamine (1.11 g, 0.011 moles) in dichloromethane (25 mL) was stirred at 25 °C. The reaction mixture was cooled and N-Methylpiperazine (1.1 g, 0.011 moles) was added slowly to this well stirred reaction mixture. The reaction was stirred for 2 – 4 hours at 25 °C and after the completion of reaction (TLC), mixture was diluted further with 25 mL of dichloromethane and the organic reaction mixture was washed with water and brine. The dichloromethane extract was dried over sodium sulfate and the volatile substances were removed under reduced pressure to obtain the crude intermediate. The residue obtained was purified by flash chromatography (silica gel, EtOAc/Hexanes, 2/8) to afford the compound, which was identified by IR, NMR and mass spectral analyses as the title compound.

The above example can also be prepared according to the procedure given for example - 40, and followed by reduction as given in example - 53. Melting range (°C) : 107 -115; IR spectra (cm^{-1}) : 1120, 1176, 1378, 1447; Mass (m/z) : 414 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.26 (3H, s), 2.28 (8H, bs), 3.64 (2H, s), 7.44 - 7.61 (4H, m), 7.88 - 7.92 (2H, m), 8.04 - 8.08 (1H, m), 8.18 - 8.24 (1H, dd, J = 2.2 Hz, 9.2 Hz), 8.65 - 8.66 (1H, d, J = 2.2 Hz).

Example - 2 : **1-(4-Methylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. Melting range (°C) : 134 – 139; IR spectra (cm^{-1}) : 1115, 1174, 1375, 1445; Mass (m/z) : 428 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.26 (3H, s), 2.30 (3H, s), 2.37 (8H, bs), 3.64 (2H, s), 7.26 - 7.29 (2H, d), 7.60 (1H, s), 7.76 - 7.80 (2H, d, J = 8.0), 8.02 - 8.07 (1H, d, J = 7.2 Hz), 8.17 - 8.23 (1H, dd, J = 2.2 Hz, 9.1 Hz), 8.63 - 8.34 (1H, d, J = 2.4).

Example - 3 : **1-(4-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole**

Using essentially the same procedure described in example 1,the above derivative was prepared. IR spectra (cm^{-1}) : 1176, 1287, 1329, 1370, 1507; Mass (m/z) : 461$(M+H)^+$, 463 $(M+H)^+$

Example - 4 : **1-(4-Fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1261, 1334, 1372, 1515; Mass (m/z) : 433 $(M+H)^+$

Example - 5 : **1-(4-Methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole hydrochloride salt**

To a 4.45 g of 1-(4-Methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole (example - 124), was added the saturated solution of hydrochloric acid in isopropyl alcohol and stirred at room temperature till crystalline compound separates out. The compound was isolated by filtration, washed with n-hexane, ethylacetate and dried under vacuum. IR spectra (cm^{-1}) : 1159, 1263, 1337, 1372; Mass (m/z) : 445 $(M+H)^+$

Example - 6 : **1-(4-Isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole**

Using essentially the same procedure described in example 1, ,the above derivative was prepared. IR spectra (cm^{-1}) : 1121, 1181, 1341, 1376, 1520; Mass (m/z) : 457 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 1.18 -1.22 (6H,d, J = 7 Hz), 2.28 (3H, s), 2.46 (8H, bs), 2.88 -2.92 (1H, h, J = 7 Hz) 3.64 - 3.65 (2H, d, J = 0.8 Hz), 7.26 - 8.66 (8H,m).

Example - 7 : **1-(2-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. Mass (m/z) : 461$(M+H)^+$, 463 $(M+H)^+$

Example - 8 : **1-(2-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole hydrochloride salt**

Using essentially the same procedure described in example 5, hydrochloride salt of example 7 was prepared. Melting Range (°C) : 228-224; IR spectra (cm^{-1}) : 1121, 1175, 1286, 1330, 1370, 1508; Mass (m/z): 461$(M+H)^+$, 463 $(M+3)^+$; ^{1}H-NMR (δ ppm) : 2.33 (3H, s), 2.53 (8H, bs), 3.70 (2H, s), 7.26 - 7.75 (4H, m), 7.80 (1H, s), 8.10 - 8.16 (1H, dd, J = 2.2 Hz, 9.1 Hz), 8.28 - 8.32 (1H, dd, J = 1.8 Hz, 7.8 Hz), 8.68 - 8.70 (1H, d, J = 2.6 Hz).

Example - 9 : **1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. Melting range (°C) : 136 -138; IR spectra (cm^{-1}) : 1127, 1173, 1346, 1370, 1588; Mass (m/z) : 523 $(M+H)^+$, 525 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.29 (3H, s), 2.47 (8H, bs), 3.68 -3.70 (2H, d, J = 3 Hz), 3.85 (3H, s), 7.02 - 8.69 (7H, m).

Example - 10 : **4,5,6-Trichloro-1-benzenesulfonyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1124, 1172, 1373; Mass (m/z) : 472 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.31 (3H, s), 2.49 (8H, bs), 3.61 (2H, s), 7.47 - 7.62 (4H, m), 7.77 - 7.84 (3H, m).

Example - 11 : **4,5,6-Trichloro-1-(4-methylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. Mass (m/z) : 487 $(M+H)^+$

Example - 12 : **1-(4-Bromobenzenesulfonyl)-4,5,6-trichloro-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. Mass (m/z) : 551 $(M+H)^+$, 553 $(M+H)^+$

Example - 13 : **4,5,6-Trichloro-1-(4-isopropylbenzenesulfonyl)-3-(4-methyl piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. Mass (m/z) : 515 $(M+H)^+$

Example - 14 : **1-(2-Bromobenzenesulfonyl)-4,5,6-trichloro-3-(4-methyl piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1140, 1174, 1160, 1373, 1397; Mass (m/z) : 551 $(M+H)^+$, 552 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.30 (3H, s), 2.48 (8H, bs), 3.61 (2H, s), 7.40 - 7.56 (2H, m), 7.68 - 7.73 (1H, dd), 7.86 (1H, s), 7.92 (1H, s), 8.26 - 8.31 (1H, dd).

Example - 15 : **1-(2-Bromo-4-methoxybenzenesulfonyl)-4,5,6-trichloro-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. Mass (m/z) : 546 $(M+H)^+$, 548 $(M+H)^+$

Example - 16 : **1-Benzenesulfonyl-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole (2.59 g, 0.01 moles) in DMF (30 mL) was added slowly to a suspension of sodium hydride (0.26 g, 0.011 moles) in DMF (10 mL) maintaining the temperature below 10 °C. The mixture was stirred for 1 hr at 25 °C. and benzene sulfonyl chloride (1.76 g, 0.01 moles) was added at 10 °C drop-wise to the reaction mixture. The reaction mixture was further stirred for 1 hr at 25 ° C. After the completion of reaction (TLC), the reaction mixture was poured onto a ice-water mixture and extracted with ethyl acetate (20 mL x 2). The combined organic extracts were washed with water and brine and dried over sodium sulfate. Volatile impurities were distilled off under reduced pressure to obtain the crude residue. The residue obtained was purified by flash chromatography (silica gel, EtOAc/TEA, 9.9/0.1) to afford the compound, which was identified by IR, NMR and mass spectral analyses as the title compound. Melting range (°C) : 120-123; IR spectra (cm^{-1}) : 1145, 1162, 1366, 1344; Mass (m/z) : 400 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.25 (3H, s), 2.41 (8H, bs), 3.53 (2H, s), 3.80 (3H, s), 6.85 - 6.90 (1H, dd, J = 2.6 Hz, 9 Hz), 7.07 - 7.08 (1H, d, J = 2.2 Hz), 7.36 - 7.50 (4H, m), 7.79 - 7.85 (2H, m).

Example - 17 : **1-(4-Methylbenzenesulfonyl)-5-methoxy-3-(4-methyl piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 16, , the above derivative was prepared. Melting range (°C) : 111-117; IR spectra (cm^{-1}) : 1146, 1172, 1369, 1450; Mass (m/z) : 414 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.27 (3H, s), 2.22 (3H, S)2.44 (8H, bs), 3.60 - 3.60 (2H, d, J = 0.6 (Gem coupling)), 3.78 (3H, s), 6.84 - 6.88 (2H, m), 7.21 - 7.46 (2H, m), 7.46 (1H, s), 7.65 - 7.69 (1H, m), 7.78 - 7.98 (2H, m).

Example - 18 : **1-(4-Bromobenzenesulfonyl)-5-methoxy-3-(4-methyl piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 16, the above derivative was prepared. IR spectra (cm^{-1}) : 1147, 1162, 1365, 1451; Mass (m/z) : 479, 481 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.28 (3H, s), 2.44 (8H, bs), 3.55 - 3.56 (2H, d, J = 1.0 Hz

(Gem coupling)), 3.82 (3H, s), 6.89 - 6.95 (1H, dd, J = 2.8 Hz, 9.0 Hz), 7.13 - 7.15 (1H, d, J = 2.6 Hz), 7.37 (1H, s), 7.51 - 7.70 (4H, m), 7.81 - 7.85 (1H, d, J = 9.1 Hz).

Example - 19 : **1-(4-Isopropylbenzenesulfonyl)-5-methoxy-3-(4-methyl piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 16, , the above derivative was prepared. Melting range (°C) : 115 -120; IR spectra (cm^{-1}) : 1146, 1174, 1370, 1387, 1476; Mass (m/z) : 442 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 1.18 - 1.22 (6H, d, J = 6.6 Hz), 2.29 (3H, s), 2.45 (8H, bs), 2.82 - 2.92 (1H, h), 3.58 (2H, s), 3.84 (3H, s), 6.91 - 6.97 (1H, dd, J = 2.6 Hz, 9.0 Hz), 7.15 - 7.16 (1H, d, J = 2.4 Hz), 7.24 - 7.28 (2H, m), 7.44 (1H, s), 7.74 - 7.78 (2H, m), 7.86 - 7.91 (1H, d, J = 8.8 Hz).

Example - 20 : **1-(2-Bromobenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 16, , the above derivative was prepared. Melting range (°C) : 110-116; IR spectra (cm^{-1}) : 1147, 1178, 1371, 1386, 1449; Mass (m/z) : 479, 481 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.28 (3H, s), 2.45 (8H, bs), 3.62 - 3.625 (2H, d, J = 0.8 Hz), 3.82 (3H, s), 6.81 - 6.87 (1H, dd, J = 2.6 Hz, 8.4 Hz), 7.19 - 7.20 (1H, d, J = 2.6 Hz), 7.34 - 7.68 (6H, m), 8.01 - 8.06 (1H, dd, J = 1.8 Hz, 7.8 Hz).

Example - 21 : **1-(2-Bromo-4-methoxybenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 16, the above derivative was prepared. Mass (m/z) : 510, 512 $(M+H)^+$.

Example - 22 : **1-(2-Bromo-4-methoxybenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole hydrochloride salt**

Using essentially the same procedure described in example 5, hydrochloride salt of example - 21 was prepared. IR spectra (cm^{-1}) : 1147, 1174, 1368, 1471; Mass (m/z) : 510, 512 $(M+H)^+$.

Example - 23 : **1-(4-methoxybenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 16, the above derivative was prepared. Melting range (°C) : 108-110; IR spectra (cm^{-1}) : 1120, 1165,

1368, 1454; Mass (m/z) : 330 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.27 (3H, s), 2.44 (8H, bs), 3.55 - 3.56 (2H, d, J = 0.6 Hz), 3.78 (3H, s), 3.82 (3H, s), 6.83 - 6.94 (3H, m), 7.12 - 7.13 (1H, d, J = 2.4 Hz), 7.40 (1H, s), 7.74 - 7.87 (3H, m).

Example - 24 : **1-(4-Fluorobenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 16, the above derivative was prepared. Melting range (°C) : 96-98; IR spectra (cm^{-1}) : 1177, 1163, 1366, 1448; Mass (m/z) : 418 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.22 (3H, s), 2.41 (8H, bs), 3.54 (2H, s), 3.81 (3H, s), 6.88 - 7.13 (4H, m), 7.37(1H, s), 7.80 - 7.87 (3H, m).

Example - 25 : **5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1148, 1182, 1352, 1377; Mass (m/z) : 466 $(M+H)^+$, 468 $(M+H)^+$.

Example - 26 : **5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole hydrochloride salt**

Using essentially the same procedure described in example 5, hydrochloride salt of example 25 was prepared. IR spectra (cm^{-1}) : 1181, 1381, 1297, 1181; Mass (m/z) : 466 $(M+H)^+$, 468 $(M+H)^+$.

Example - 27 : **5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole maleate salt**

To the saturated solution of 5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole (2.3 g) in diethyl ether, the saturated solution of maleic acid in diethyl ether was added slowly under cooling and stirring. The mass was stirred till solid separates out. The crystalline solid was isolated by filtration, washed with hexane, ethyl acetate and dried quickly under vacuum over phosphorous pentoxide. IR spectra (cm^{-1}) : 1157, 1182, 1384, 1572, 1622, 1692; Mass (m/z) : 466 $(M+H)^+$, 468 $(M+H)^+$.

Example - 28 : **5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole citrate salt**

To the saturated solution of 5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole (2.3 g) in diethyl ether, the saturated solution of citric acid in diethyl ether was added slowly under cooling and stirring. The mass was stirred till solid separates out. The crystalline solid was isolated by filtration, washed with hexane, ethyl acetate and dried quickly under vacuum over phosphorous pentoxide. IR spectra (cm^{-1}) : 1159, 1182, 1376, 1590, 1723; Mass (m/z) : 466 $(M+H)^+$, 468 $(M+H)^+$.

Example - 29 : **5-Bromo-1-(4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1122, 1180, 1373, 1438, 1456; Mass (m/z) : 478, 480 $(M+H)^+$

Example - 30 : **5-Bromo-1-(benzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. Melting range (°C) : 133-135; IR spectra (cm^{-1}) : 1123, 1176, 1366, 1446; Mass (m/z) : 449 $(M+H)^+$, 451 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.41 (3H, s), 2.59 (8H, bs), 3.58 (2H, s), 7.38 - 7.60 (5H, m), 7.80 - 7.87 (4H, m).

Example - 31 : **5-Bromo-1-(4-methylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1123, 1176, 1338, 1386; Mass (m/z) : 463 $(M+H)^+$, 465 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.29 (3H, s), 2.35 (3H, s), 2.44 (8H, bs), 3.54 (2H, s), 7.20 - 7.44 (4H, m), 7.70 - 7.85 (4H, m).

Example - 32 : **5-Bromo-1-(4-bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1120, 1250, 1373, 1454; Mass (m/z) : 528, 530 $(M+H)^+$.

Example - 33 : **5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. Melting range (°C) : 157-159; IR spectra (cm^{-1}) : 1121, 1179, 1371, 1438, 1456; Mass (m/z) : 490, 492 $(M+H)^+$, 390 $(M\text{-}pip)^+$; ^{1}H-NMR (δ ppm) : 1.17 - 1.21 (6H, d, J = 6.8 Hz), 2.28 (3H, s), 2.44 (8H, bs), 2.82 - 2.92 (1H, h), 3.54 - 3.55 (2H, d, J = 0.8 Hz), 7.25 - 7.45 (4H, m), 7.73 - 7.87 (4H, m).

Example - 34 : **5-Bromo-1-(2-bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1128, 1179, 1373, 1446; Mass (m/z) : 528, 530 $(M+H)^+$.

Example - 35 : **5-Bromo-1-(2-bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole hydrochloride salt**

Using essentially the same procedure described in example 5, hydrochloride salt of example 34 was prepared. Melting range (°C) : 245-250; IR spectra (cm^{-1}) : 1128, 1179, 1373, 1446; Mass (m/z) : 528, 530 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.94 (3H, s), 2.36 - 2.52 (8H, bs), 4.44 (2H, s), 7.43 - 8.44 (8H, m).

Example - 36 : **5-Bromo-1-(2-bromo-4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1178, 1373, 1446; Mass (m/z) : 558, 560 $(M+H)^+$.

Example - 37 : **4-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1165, 1228, 1369, 1670; Mass (m/z) : 466, 468 $(M+H)^+$.

Example - 38 : **4-Bromo-1-(4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1166, 1263, 1372, 1673; Mass (m/z) : 478, 480 $(M+H)^+$.

Example - 39 : **4-Bromo-1-(4-isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure described in example 1, the above derivative was prepared. IR spectra (cm^{-1}) : 1160, 1250, 1378, 1666; Mass (m/z) : 490, 492 $(M+H)^+$.

Example - 40 : **(1-Benzenesulfonyl-1H-indol-3-yl)-(4-methylpiperazin-1-yl)methanone**

1-Benzenesulfonylindole-3-carboxylic acid (3.01 g, 0.01 moles) was stirred with oxalyl chloride (1.309 g, 0.011moles) in 20 mL dichloromethane at 0 to 25 °C for 3 - 4 hours. After completion of the reaction (T.L.C.), volatile substances were distilled off under the reduced pressure. The residue was taken in 20 mL dichloroethane and to this stirred solution, was added N-methylpiperazine (1.1 g, 0.011moles). The reaction mixture was further stirred for next 3 - 5 hours till the reaction completes (TLC). Reaction mixture was diluted with dichloromethane 20 mL), washed with water, brine and saturated solution of sodium bicarbonate. The organic layer was dried over sodium sulfate and the organic solvents were evaporated under vacuo. The product was purified using column chromatography on silica gel G stationary phase and suitable combinations of ethyl acetate and methanol in increasing gradient as the mobile phase. IR spectra (cm^{-1}) : 3140, 1621, 1552, 1451; Mass (m/z) : 484 $(M+H)^+$

Example - 41 : **[1-(4-Methylbenzenesulfonyl)-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. IR spectra (cm-1) : 3131, 1633, 1553, 1446; Mass (m/z) : 498 $(M+H)^+$; ^{1}H-NMR (δ □ppm) : 2.32 (3H, s), 2.35 (3H, s), 2.50 (4H, s), 3.7 (4H, s), 7.223 - 7.99 (9H, m).

Example - 42 : **[1-(4-Isopropylbenzenesulfonyl)-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. IR spectra (cm^{-1}) : 3066, 1630, 1553, 1446; Mass (m/z) : 426 $(M+H)^+$; ^1H-NMR (δ ppm) : 1.19 - 1.23 (6H, d), 2.34 (3H, s), 2.46 (4H, s), 2.8 - 2.95 (1H, m), 3.71 (4H, s), 7.28 - 8.05 (9H, m).

Example - 43 : **[1-(2-Bromobenzenesulfonyl)-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. IR spectra (cm^{-1}) : 3142, 1623, 1550, 1450; Mass (m/z) : 462, 464$(M+H)^+$; ^1H-NMR (δ ppm) : 2.34 (3H, s), 2.46 (4H, s), 3.74 (4H, s), 7.25 - 8.27 (9H, m).

Example - 44 : **[1-(2-Bromo-4-methoxybenzenesulfonyl)-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. Mass (m/z) : 492 $(M+H)^+$, 494 $(M+H)^+$; ^1H-NMR (δ ppm) : 2.33 (3H, s), 2.47 (4H, s), 3.73 (4H, s), 3.84 (3H, s), 7.01 - 8.30 (8H, m).

Example - 45 : **(1-Benzenesulfonyl-5-nitro-1H-indol-3-yl)-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. Melting range (°C) : 158-160; IR spectra (cm^{-1}) : 3133, 1620, 1556, 1447; Mass (m/z) : 429 $(M+H)^+$; ^1H-NMR (δ ppm) : 2.37 (3H, s), 2.50 (4H, bs), 3.74 (4H, bs), 7.52 - 8.63 (8H, m).

Example - 46 : **[1-(4-Methylbenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. Melting range (°C) : 188 – 190; IR spectra (cm^{-1}) : 3116, 1626, 1514, 1442; Mass (m/z) : 443 $(M+H)^+$; ^1H-NMR (δ ppm) : 2.35 (3H, s), 2.39 (3H, s), 2.48 (4H, s), 3.73 (4H, s), 7.83 - 8.62 (8H, m).

Example - 47 : **[1-(4-Fluorobenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. Melting range (°C) : 180 – 184; IR spectra (cm^{-1}) : 3096, 1629, 1556, 1465; Mass (m/z) : 447 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.36 (3H, s), 2.49 (4H, bs), 3.74 (4H, bs), 7.22 - 8.63 (8H, m).

Example - 48 : **[1-(4-Bromobenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. Mass (m/z) : 507, 509 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.36 (3H, s), 2.48 (4H, bs), 3.73 (4H, bs), 7.63-8.63 (8H, m).

Example - 49 : **[1-(4-Isopropylbenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. Melting range (°C) : 170 –172; IR spectra (cm^{-1}) : 3125, 1631, 1557, 1441; Mass (m/z) : 471 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 1.19 - 1.22 (6H, d), 2.41 (3H, s), 2.57 (4H, bs), 2.82 – 2.92 (1H, h),3.80 (4H, b), 7.26 - 8.63 (8H, m).

Example - 50 : **[1-(2-Bromobenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. Melting range (°C) : 148 –150; IR spectra (cm^{-1}) : 3150, 1620, 1549, 1441; Mass (m/z) : 507 $(M+H)^+$, 509 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.35 (3H, s), 2.489 (4H, bs), 3.76 (4H, bs), 7.78 - 8.68 (8H, m).

Example - 51 : **[1-(4-Methoxybenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. Melting range (°C) : 146 – 148; IR spectra (cm^{-1}) : 3122, 1625, 1587, 1441; Mass (m/z) : 459 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.35 (3H, s), 2.47 (4H, bs), 3.73 (4H, bs), 3.83 (3H, s), 6.91 - 8.63 (8H, m).

Example - 52 : **[1-(2-Bromo-4-methoxybenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone**

Using essentially the same procedure described in the example 40, above analog was prepared. IR spectra (cm^{-1}) : 3097, 1629, 1522, 1440; Mass (m/z) : 554, 556 $(M+NH_4)^+$; ^{1}H-NMR (δ ppm) : 2.35 (3H, s), 2.48 (4H, bs), 3.74 (4H, b), 3.87 (3H, s), 7.26 - 8.68 (7H, m).

Example - 53 : **1-Benzenesulfonyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

(1-Benzenesulfonyl-1H-indol-3-yl)-(4-methylpiperazin-1-yl)methanone (0.8 g, 0.002 moles) in THF (10 mL) was treated with cooled and stirred suspension of LAH (0.04 g, 0.001moles) in THF (10 mL) slowly over the period of 2 to 5 hours, the reaction mixture was heated to reflux for 2 - 4 hours, after the completion of reaction, the reaction mixture was poured on to the ice and the compound was extracted with ethyl acetate.

The residue obtained was purified by flash chromatography (silica gel, EtOAc/Hexanes, 2/8) to afford the compound, which was identified by IR, NMR and mass spectral analyses as the title compound. Alternatively the above compound may also be prepared as followed in example 1, and example 16, IR spectra (cm^{-1}): 1143, 1174,1367,1447; Mass (m/z) : 370 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.26 (3H, s), 2.43 (8H, bs), 3.59 (2H, s), 7.18 - 7.98 (10H, m).

Example - 54 : **1-(4-Methylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 53, the above derivative was prepared. Melting range (°C) : 109-110; IR spectra (cm^{-1}) : 1125, 1177, 1358, 1449; Mass (m/z) : 384 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.27 (3H, s), 2.33 (3H, s), 2.45 (8H, bs), 3.59 (2H, s), 7.18 - 7.31 (4H, m), 7.46 (1H, s), 7.65 -7.69 (3H, m), 7.73 - 7.97 (1H, m).

Example - 55 : **1-(4-Fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 53, the above derivative was prepared. Melting range (°C) : 107-108; IR spectra (cm^{-1}) : 1126, 1178, 1372, 1450, 1492; Mass (m/z) : 388 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.27 (3H, s), 2.44 (8H, bs), 3.60 (2H, s), 7.05 - 7.36 (5H, m), 7.44 (1H, s), 7.66 - 7.67 (1H, m), 7.70 - 7.97 (2H, m).

Example - 56 : **1-(4-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 53, the above derivative was prepared. IR spectra (cm^{-1}) : 1145, 1178, 1372, 1380; Mass (m/z) : 448, 450 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.27 (3H, s), 2.43 (8H, bs), 3.58 - 3.59 (1H, d, J = 0.6 Hz), 7.20 - 7.33 (2H, m), 7.40 (1H, s), 7.51 - 7.55 (1H, dd), 7.64 - 7.68 (3H, m), 7.70 - 7.93 (1H, dd).

Example - 57 : **1-(4-Isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 53, the above derivative was prepared. IR spectra (cm^{-1}) : 1121, 1144, 1190, 1371; Mass (m/z) : 411 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 1.17 - 1.18 (3H, d) 1.20 - 1.26 (3H, d), 2.22 (3H, s), 2.46 (8H, bs), 2.82 - 2.92 (1H, h), 3.61 (2H, s), 7.19 - 7.36 (4H, m), 7.48 (1H, m), 7.66 - 7.81 (3H, m), 7.97 - 8.00 (1H, d).

Example - 58 : **1-(2-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 53, the above derivative was prepared. IR spectra (cm^{-1}) : 1123, 1179, 1373, 1447; Mass (m/z) : 448, 430 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.28 (3H, s), 2.45 (8H, bs), 3.66 (2H, s), 7.18 - 7.75 (8H, m), 8.10 - 8.15 (1H, dd, J = 2.0 Hz, 7.8 Hz).

Example - 59 : **1-(2-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole hydrochloride salt**

Using essentially the same procedure as described in example 5 and using example 58 the above derivative was prepared. Melting range (°C) : 242 - 244; IR spectra (cm^{-1}) : 1123, 1179, 1373, 1447; Mass (m/z) : 448, 450 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 3.02 (3H, s), 3.66 (8H, bs), 4.67 (2H, s), 7.33 - 7.94 (7H, m), 8.34 (1H, s), 8.43 - 8.48 (1H, dd, J = 2.2Hz, 8.0 Hz).

Example - 60 : **1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 53, above derivative was prepared. Mass (m/z) : 479 $(M+H)^+$, 481 $(M+H)^+$

Example - 61 : **1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole Hydrochloride salt**

Using essentially the same procedure as described in example 5 and using example 60 the above derivative was prepared. Mass (m/z) : 479, 481 $(M+H)^+$ (base)

Example - 62 : **1-(4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Example - 63 : Using essentially the same procedure as described in example 53, above derivative was prepared. Melting range (°C): 115 -117; IR spectra (cm^{-1}) : 1125, 1170, 1358, 1451; Mass (m/z) : 400 $(M+H)^+$, 300 (M - piperazine)$^+$; ^{1}H-NMR (δ ppm) : 2.27 (3H, s), 2.44 (8H, bs), 3.60 (2H, s), 3.78 (3h, s), 6.84 - 6.88 (2H, m), 7.21 - 7.31 (2H, m), 7.46 (1H, s), 7.65 - 7.69 (1H, dd), 7.78 - 7.83 (2H, m), 7.93 - 7.97 (1H, d, J = 7.6 Hz).1-(2-**Bromo-4-methoxybenzenesulfonyl)-5-chloro-2-methyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 16, and D169, above derivative was prepared. Mass (m/z) : 526 $(M+H)^+$, 528 $(M+H)^+$

Example - 64 : **5-Chloro-1-(4-fluorobenzenesulfonyl)-2-methyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 16, above derivative was prepared. Mass (m/z) : 436 $(M+H)^+$

Example - 65 : **1-(4-Bromobenzenesulfonyl)-5-chloro-2-methyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 16, above derivative was prepared. Mass (m/z) : 496 $(M+H)^+$, 498 $(M+H)^+$

Example - 66 : **5-Chloro-1-(4-Isopropylbenzenesulfonyl)-2-methyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 16, above derivative was prepared. Mass (m/z) : 460 $(M+H)^+$

Example - 67 : **1-Benzenesulfonyl-5-chloro-2-phenyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

A solution of 5-Chloro-3-(4-methylpiperazin-1-ylmethyl)-2-phenyl-1H-indole (D172, 2.63 g, 0.01 moles) in THF (25 mL) was cooled to –78 °C. To this well-stirred solution, n-

butyl lithium (0.7 g, 0.011 moles, 4.4 mL of 2.5 M solution in hexanes) was added slowly maintaining the temperature below –70 °C. The reaction mixture was stirred for 30 minutes, and benzenesulfonyl chloride (1.94 g, 0.011 moles) was added slowly maintaining the temperature below –70 °C over 10 minutes. The reaction mixture was stirred for another 1 hour, after which the reaction was allowed to come to 25 °C gradually and stirred for 1 hour. After the completion of reaction (TLC), the reaction mixture was quenched using ice- cold water (100 mL) and extracted with ethyl acetate (20 mL x 3). The combined organic extracts were washed with water and brine and dried over sodium sulfate. Volatile impurities were distilled off under reduced pressure to obtain the crude residue. The residue obtained was purified by flash chromatography (silica gel, EtOAc/TEA, 9.9/0.1) to afford the compound, which was identified by IR, NMR and mass spectral analyses as the title compound. Mass (m/z) : 480 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.24 - 2.31 (11H, bs), 3.28 (2H, s), 7.25 - 8.26 (13H, m).

Example - 68 : **5-Chloro-1-(4-methylbenzenesulfonyl)-2-phenyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 67, above derivative was prepared. IR spectra (cm^{-1}) : 1124, 1182, 1220, 1380; Mass (m/z) : 494 $(M+H)^+$

Example - 69 : **1-(Benzenesulfonyl)-5-fluoro-2-phenyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 67, above derivative was prepared. IR spectra (cm^{-1}) : 1123, 1183, 1221, 1378, 1461; Mass (m/z) : 464 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.23 - 2.27 (11H, bs), 3.27 (2H, s), 7.24 - 8.25 (13H, m).

Example - 70 : **5-Fluoro-1-(4-methylbenzenesulfonyl)-2-phenyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 67, above derivative was prepared. IR spectra (cm^{-1}) : 1162, 1274, 1320,1350, 1459; Mass (m/z) : 478 $(M+H)^+$

Example - 71 : **1-(4-Bromobenzenesulfonyl)-5-chloro-2-phenyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 67, above derivative was prepared. IR spectra (cm^{-1}) : 1160, 1272, 1320, 1355; Mass (m/z) : 559, 561 $(M+H)^+$

Example - 72 : **1-(2-Bromobenzenesulfonyl)-5-cyano-3-(4-methylpiperazin-1-ylmethyl)-1H-indole hydrochloride salt**

Using essentially the same procedure as described in example 5, the salt of base was prepared. IR spectra (cm^{-1}) : 1136, 1279, 1377, 1449; Mass (m/z) : 473 $(M+H)^+$, 475 $(M+H)^+$.

Example - 73 : **5-Cyano-1-(4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1174, 1287, 1371, 1455, 2213; Mass (m/z) : 425 $(M+H)^+$.

Example - 74 : **5-Cyano-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1175, 1286, 1370, 1455, 2215; Mass (m/z) : 413 $(M+H)^+$

Example - 75 : **1-(4-Bromobenzenesulfonyl)-5-cyano-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1174, 1284, 1372, 1456, 2217; Mass (m/z) : 473, 475 $(M+H)^+$

Example - 76 : **5-Cyano-1-(4-Isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1177, 1299, 1350, 1456, 2227; Mass (m/z) : 437 $(M+H)^+$.

Example - 77 : **N-(1-(4-Fluorobenzenesulfonyl)-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1179, 1252, 1373, 1442; Mass (m/z) : 390 $(M+H)^+$; ^1H-NMR (δ ppm) : 2.22 (6H, s), 2.46 - 2.5 (4H, m), 3.61 (2H, s), 2.5 - 2.55 (4H, q), 3.65 (2H, s), 7.04 - 7.97 (9H, m).

Example - 78 : **N-(1-(4-Fluorobenzenesulfonyl)-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine Hydrochloride salt**

Using essentially the same procedure as described in example 5, above derivative was prepared. IR spectra (cm^{-1}) : 1180, 1254, 1370, 1450; Mass (m/z) : 390 $(M+H)^+$.

Example - 79 : **N-(1-(4-Bromobenzenesulfonyl)-5-bromo-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1175, 1252, 1369, 1448; Mass (m/z) : 530 $(M+H)^+$, 532 $(M+H)^+$

Example - 80 : **N-(1-(4-Bromobenzenesulfonyl)-5-bromo-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine Hydrochloride salt**

Using essentially the same procedure as described in example 5, above derivative was prepared. IR spectra (cm^{-1}) : 1176, 1254, 1370, 1450; Mass (m/z) : 530 $(M+H)^+$, 532 $(M+H)^+$

Example - 81 : **N-(5-Bromo-1-(4-methoxybenzenesulfonyl)1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1172, 1260, 1375, 1455; Mass (m/z) : 482, 484 $(M+H)^+$; ^1H-NMR (δ ppm) : 2.19 (3H, s), 2.22 (6H, s), 2.45 - 2.49 (4H, q), 3.55 (2H, s), 3.79 (3H, s), 6.84 - 7.85 (8H, m).

Example - 82 : **N-(1-(4-Methoxybenzenesulfonyl)-5-nitro-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1176, 1262, 1376, 1450; Mass (m/z) : 447.3 $(M+H)^+$;

^{1}H-NMR (δ ppm) : 2.20 (3H, s), 2.264 (6H, s), 3.881 (2H, s), 2.5 - 2.55 (4H, q), 3.65 (2H, s), 6.80 - 8.69 (8H, m).

Example - 83 : **N-(1-(4-Methoxybenzenesulfonyl)-5-nitro-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine Hydrochloride salt**

Using essentially the same procedure as described in example 5, above derivative was prepared. IR spectra (cm^{-1}) : 1170, 1260, 1365, 1448; Mass (m/z) : 447 $(M+H)^+$

Example - 84 : **N-(1-(2-Bromobenzenesulfonyl)-5-bromo-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1462, 1373, 1172, 1126; Mass (m/z) : 528 $(M+H)^+$, 530 $(M+H)^+$.

Example - 85 : **1-(2-Bromobenzenesulfonyl)-3-(4-(3-chlorobenzene-1-yl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. Melting range (°C) : 133 - 140; IR spectra (cm^{-1}) : 1594, 1369, 1235, 1177; Mass (m/z) : 544 $(M+H)^+$, 546 $(M+H)^+$.

Example - 86 : **1-(4-Methoxybenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. Melting range (°C) : 148 - 152; IR spectra (cm^{-1}) : 1595, 1360, 1264, 1168; Mass (m/z) : 492 $(M+H)^+$.

Example - 87 : **1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1587, 1369, 1238, 1175; Mass (m/z) : 570, 572 $(M+H)^+$

Example - 88 : **1-(4-Isopropylbenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1595, 1374, 1238, 1180; Mass (m/z) : 504 $(M+H)^+$; ^{1}H-

NMR (δ ppm) : 1.16 - 1.20 (6H, d), 2.66 - 2.70 (4H, bs), 2.80 - 3.00 (1H, h), 3.07 - 3.21 (4H, bs), 3.69 (2H, s), 3.85 (3H, s), 6.86 - 7.97 (13H, m).

Example - 89 : **5-Bromo-1-(4-fluorobenzenesulfonyl)3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. Melting Range (°C) : 179 - 186; IR spectra (cm^{-1}) : 1591, 1374, 1238, 1180; Mass (m/z) : 558 $(M+H)^+$, 560 $(M+H)^+$.

Example - 90 : **5-Bromo-1-(4-methoxybenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. Melting range (°C) : 173 - 175; IR spectra (cm^{-1}) : 1591, 1375, 1267, 1167; Mass (m/z) : 567, 569 $(M+H)^+$.

Example - 91 : **5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1595, 1374, 1240, 1174; Mass (m/z) : 582, 584 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 1.17 - 1.21 (6H, d), 2.63 - 2.65 (4H, bs), 2.80 - 3.00 (1H, h), 3.07 - 3.10 (4H, bs), 3.63 (2H, s), 3.85 (3H, s), 6.87 - 7.88 (12H, m).

Example - 92 : **1-(4-Fluorobenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. Mass (m/z) : 510 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.65 - 2.71 (4H, dd), 3.07 - 3.2 (4H, dd), 3.64 (2H, s), 3.65 (2H, s), 3.83 (3H, s), 3.85 (3H, s), 6.83 - 7.90 (12H, m).

Example - 93 : **1-(4-Fluorobenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole Hydrochloride salt**

Using essentially the same procedure as described in example 5, and using example 92 the above derivative was prepared. IR spectra (cm^{-1}) : 1590, 1371, 1241, 1181; Mass (m/z) : 510 $(M+H)^+$.

Example - 94 : **1-(4-Methoxybenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. Melting Range (°C) : 188 - 190; IR spectra (cm^{-1}) : 1594, 1367, 1237, 1165; Mass (m/z) : 522 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.64 - 2.66 (4H, dd), 3.06 - 3.2 (4H, dd), 3.64 (2H, s), 3.78 (3H, s), 3.82 (3H, s), 3.85 (3H, s), 6.83 - 7.88 (12H, m).

Example - 95 : **1-(4-Isopropylbenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. Melting Range (°C) : 121 - 122; IR spectra (cm^{-1}) : 1594, 1372, 1238, 1174; Mass (m/z) : 534 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 1.16 - 1.20 (6H, d), 2.60 - 2.67 (4H, bs), 2.80 - 3.00 (1H, h), 3.10 - 3.21 (4H, bs), 3.64 (2H, s), 3.83 (3H, s), 3.85 (3H, s), 6.83 - 7.90 (12H, m).

Example - 96 : **1-(4-Isopropylbenzenesulfonyl)-5-methoxy-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1596, 1372, 1275, 1174; Mass (m/z) : 518 $(M+H)^+$; ^1H-NMR (δ ppm) : 1.15 - 1.25 (6H, s, J = 20.7 Hz), 2.38 - 2.58 (8H, bs), 2.85 - 2.89 (1H, h), 3.51 (2H, s), 3.57 (2H, s), 3.81 (3H, s), 6.80 - 7.80 (13H, m).

Example - 97 : **1-(4-Methoxybenzenesulfonyl)-5-methoxy-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1585, 1371, 1227, 1166; Mass (m/z) : 506 $(M+H)^+$; ^1H-NMR (δ ppm) : 2.45 - 2.88 (8H, bs), 3.50 (2H, s), 3.56 (2H, s), 3.76 (3H, s), 3.81 (3H, s), 6.8 - 7.86 (13H, m).

Example - 98 : **1-(4-Isopropylbenzenesulfonyl)-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. Mass (m/z) : 488 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 1.15 - 1.19 (6H, s, J = 6.8 Hz), 2.46 (8H, bs), 2.87 (1H, s), 3.49 (2H, s), 3.61 (2H, s), 7.18 - 7.99 (14H,m).

Example - 99 : **1-(4-Methoxybenzenesulfonyl)-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1164, 1260, 1361, 1592; Mass (m/z) : 476 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.46 (8H, bs), 3.49 (2H, s), 3.61 (2H, s), 3.78 (3H, s), 6.83 - 7.97 (14H, m).

Example - 100 : **1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1176, 1224, 1366, 1586; Mass (m/z) : 555, 557 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 2.49 (8H, bs), 3.65 (2H, s), 3.66 (2H, s), 3.81 (3H, s), 6.96 - 8.20 (13H,m).

Example - 101 : **1-(Benzenesulfonyl)-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1174, 1226, 1370, 1584; Mass (m/z) : 356 $(M+H)^+$.

Example - 102 : **1-[[1-(4-Methoxybenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1170, 1228, 1372, 1586; Mass (m/z) : 400 $(M+H)^+$.

Example - 103 : **(R,S) 1-(1-Benzenesulfonyl-indol-3-yl)-1-(4-methylpiperazin-1-yl)ethane**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 2966, 2931, 1446, 1370, 1167; Mass (m/z) : 384 $(M+H)^+$; ^{1}H-NMR (δ ppm) : 1.42 (3H, s), 2.24 (3H, s), 2.39 - 2.46 (8H, bs), 3.78 - 3.81 (1H, q), 7.20 - 7.98 (10H, m).

Example - 104 : **(R,S) 1-[1-(4-Methylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1170, 1368, 1442, 2931, 2966; Mass (m/z) : 399 $(M+H)^+$.

Example - 105 : **(R,S) 1-[1-(4-Methoxylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 1150, 1172, 1372, 2935, 2965; Mass (m/z) : 414 $(M+H)^+$.

Example - 106 : **(R,S) 1-[1-(4-Isopropylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)-ethane**

Using essentially the same procedure as described in example 1, above derivative was prepared. IR spectra (cm^{-1}) : 2967, 2934, 1445, 1362, 1178; Mass (m/z) : 426 $(M+H)^+$.

Example - 107 : **1-(4-Fluorobenzenesulfonyl)-1H-indole-3-carboxylic acid N-(N,N-dimethylaminoethyl)-N-methylamide**

Using essentially the same procedure as described in example 16, compound in description 186 and was reacted with 4-Fluorobenzenesulfonyl chloride to obtain the above derivative. Mass (m/z) : 404 $(M+H)^+$.

Example - 108 : **1-(4-Methoxybenzenesulfonyl)-1H-indole-3-carboxylic acid N-(N,N-dimethylaminoethyl)-N-methylamide**

Using essentially the same procedure as described in example 16, , compound in description 186 and was reacted with 4-Methoxybenzenesulfonyl chloride to obtain the above derivative. Mass (m/z) : 416 $(M+H)^+$.

Example - 109 : **1-(4-Isopropylbenzenesulfonyl)-1H-indole-3-carboxylic acid N-(N,N-dimethylaminoethyl)-N-methylamide**

Using essentially the same procedure as described in example 16, , compound in description 186 and was reacted with 4-Isopropylbenzenesulfonyl chloride to obtain the above derivative. Mass (m/z) : 428 $(M+H)^+$.

Example - 110 : **(R,S) α-[1-(Benzenesulfonyl)-1H-indol-3-yl]-α-(4-methyl piperazin-1-yl)acetonitrile**

In a three necked round bottom flask sodium bisulfite (0.26 g, 0.055 moles) was dissolved in 20 mL water. To the above solution 1-Benzenesulfonylindole-3-carboxaldehyde (D1, 1 g, 0.0035 moles) was added and stirred for 1 hr. N-methylpiperazine and sodium cyanide was added at room temperature and the reaction mixture was stirred well for next 12 hrs. After the completion of reaction (TL C), the product was isolated by filtration. The residue was extracted with ethyl acetate (2 x 25 mL). The combined organic extracts were washed with water, followed by brine, dried over anhydrous sodium sulfate. The organic layer was evaporated under vacuum. The residue obtained was further purified by flash chromatography (silica gel, EtOAc/Hexane, 1/1) to afford a solid material, which was identified by IR, NMR and mass spectral analyses as the title compound. Mass (m/z) : 395 $(M+H)^+$.

Example - 111 : **(R,S) α-[1-(4-Isopropylbenzenesulfonyl)-1H-indol-3-yl]-α-(4-methyl piperazin-1-yl)-acetonitrile**

Using essentially the same procedure as described in example 121, above derivative was prepared. Mass (m/z) : 437 $(M+H)^+$.

Example - 112 : **(R,S) α-[1-(4-Methoxybenzenesulfonyl)-1H-indol-3-yl]-α-(4-methyl piperazin-1-yl)acetonitrile**

Using essentially the same procedure as described in example 121, above derivative was prepared. ^{1}H-NMR (δ ppm) 2.27 (3H, s), 2.44 (4H, bs), 2.62 (4H, bs), 3.81 (3H, s), 4.96 (1H, s), 6.88 – 8.01 (9H, m); Mass (m/z) : 425 $(M+H)^+$

Example - 113 : **1-(4-Methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole**

Using essentially the same procedure as described in example 1, above derivative was prepared. Mass IR spectra (cm^{-1}) : 1116, 1170, 1374, 1450 (m/z) : 445 $(M+H)^+$

Example - 114 : **1-(Benzenesulfonyl)-3-(4-(benzyloxycarbonyl)-piperazin-1-ylmethyl)-1H-indole**

The compound in Description 183 was treated benzensulfonyl chloride according to the procedure given in example 16. Further the protecting group was removed according to the known procedures in the art. Mass (m/z) : 490 $(M+H)^+$

Example - 115 : **1-(Benzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole**

The protecting group in example 125 was removed according to the known procedures in the art. Mass (m/z) : 356 $(M+H)^+$.

Example - 116 : **1-(4-Methoxybenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole**

A solution of 1-(4-Methoxybenzenesulfonyl)-3-chloromethyl-1H-indole (0.01 mole) in dichloromethane (25 mL) was added slowly over 20 – 30 minutes to the well stirred and cooled solution of piperazine (0.021 moles) at 5 °C. The reaction mixture was further stirred for 30 minutes and then gradually brought to 20 5 °C. After completion of the reaction (3 – 4 hours, TLC), the reaction mixture was further diluted with dichloromethane and washed repeatedly with water and brine. The dichloromethane extract was dried over sodium sulfate and the volatile substances were removed under reduced pressure to obtain the crude intermediate. The residue obtained was purified by flash chromatography (silica gel, EtOAc/MeOH then, MeOH/Triethylamine) to afford the compound, which was identified by IR, NMR and mass spectral analyses as the title compound. The above example can also be prepared according to the procedure given for example 40, and followed by reduction as given in example 53. Mass (m/z) : 386 $(M+H)^+$

Example - 117 : **1-(4-Isopropylbenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 127, 1-(4-Isopropylbenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with piperazine to obtain the above derivative.

Mass (m/z) : 398 $(M+H)^+$.

Example - 118 : **1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 127, 1-(2-Bromo-4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with piperazine to obtain the above derivative.

Mass (m/z) : 464 $(M+H)^+$, 466 $(M+3)^+$;

Example - 119 : **5-Bromo-1-(benzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 127, 5-Bromo-1-(Benzenesulfonyl)-3-chloromethyl-1H-indole was reacted with piperazine to obtain the above derivative.

Mass (m/z) : 434 $(M+H)^+$, 436 $(M+3)^+$;

Example - 120 : **5-Bromo-1-(4-methoxybenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 127, 5-Bromo-1-(4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with piperazine to obtain the above derivative.

Mass (m/z) : 464 $(M+H)^+$, 466 $(M+3)^+$;

Example - 121 : **5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 127, 5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with piperazine to obtain the above derivative.

Mass (m/z) : 576 $(M+H)^+$, 578 $(M+3)^+$;

Example - 122 : **5-Bromo-1-(2-bromo-4-methoxybenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole**

Using essentially the same procedure as described in example 127, 5-Bromo-1-(2-bromo-4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with piperazine to obtain the above derivative.

Mass (m/z) : 542 $(M+H)^+$, 543 $(M+3)^+$

Example - 123 : **1-[[1-(4-Isopropylbenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane**

Using essentially the same procedure as described in example 127, 1-(4-isopropylbenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with homopiperazine to obtain the above derivative.

Mass (m/z) : 412 $(M+H)^+$.

Example - 124 : **1-[[1-(2-Bromo-4-methoxybenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane**

Using essentially the same procedure as described in example 127, 1-(2-Bromo-4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with homopiperazine to obtain the above derivative.

Mass (m/z) : 478 $(M+H)^+$, 480 $(M+3)^+$

Example - 125 : **1-[[1-(4-methylbenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane**

Using essentially the same procedure as described in example 127, 1-(4-methylbenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with homopiperazine to obtain the above derivative.

Mass (m/z) : 484 $(M+H)^+$.

Example - 126 : **1-[[5-Bromo-1-(4-Methoxybenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane**

Using essentially the same procedure as described in example 127, 5-Bromo-1-(4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with homopiperazine to obtain the above derivative.

Mass (m/z) : 478 $(M+H)^+$, 480 $(M+3)^+$

Example - 127 : **1-[[5-Bromo-1-(4-Isopropylbenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane**

Using essentially the same procedure as described in example 127, 5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with homopiperazine to obtain the above derivative.

Mass (m/z) : 490 $(M+H)^+$, 492 $(M+3)^+$

Example - 128 : **1-[[5-Bromo-1-(2-Bromo-4-methoxybenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane**

Using essentially the same procedure as described in example 127, 5-Bromo-1-(2-Bromo-4-methoxybenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with homopiperazine to obtain the above derivative.

Mass (m/z) : 556 $(M+H)^+$, 558 $(M+3)^+$

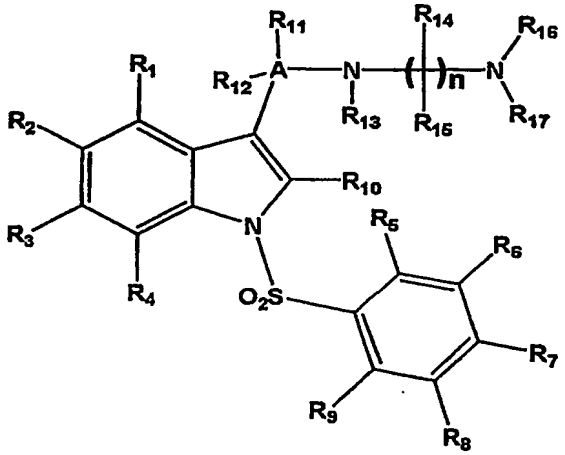
Example - 129 : **1-[[5-Bromo-1-(4-methylbenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane**

Using essentially the same procedure as described in example 127, 5-Bromo-1-(4-methylbenzenesulfonyl)-3-chloromethyl-1H-indole was reacted with homopiperazine to obtain the above derivative.

Mass (m/z) : 462 $(M+H)^+$, 463 $(M+3)^+$

Claims:

1. A compound of the general formula (I),



General Formula (I)

its derivative, its analog, its tautomeric form, its stereoisomer, its geometric form, its N-oxide, its polymorph, its pharmaceutically acceptable salt, or its pharmaceutically acceptable solvate,

wherein A may be $-CH_2-$, and R_{11} and R_{12}, refer to substitutions on the carbon whenever A is CH_2;

Wherein, R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11}, R_{12}, R_{14} and R_{15} may be same or different and each independently represent hydrogen, halogen, oxo, thio, perhaloalkyl, hydroxy, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aryloxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, dialkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino,

carboxylic acid and its derivatives, sulfonic acids and its derivatives;

R_{13}, R_{16} and R_{17} may be same or different and each independently represents Hydrogen, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, aryl, aralkyl, heterocyclylalkyl; optionally R_{13} along with either R_{16} or R_{17} and the two nitrogen atoms may form a 6 or 7–membered heterocyclic ring, which may be further substituted with R_{14} and R_{15}, and may have either one, two or three double bonds;

"n" is an integer ranging from 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched.

2. A compound according to Claim -1 which is selected from :

1-Benzenesulfonyl-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole;
1-(4-Methylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole;
1-(4-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole;
1-(4-Fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole;
1-(4-Methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole;
1-(4-Isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole;
1-(2-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole;
1-(2-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole hydrochloride salt;
1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-5-nitro-1H-indole;

4,5,6-Trichloro-1-benzenesulfonyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
4,5,6-Trichloro-1-(4-methylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Bromobenzenesulfonyl)-4,5,6-trichloro-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
4,5,6-Trichloro-1-(4-isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(2-Bromobenzenesulfonyl)-4,5,6-trichloro-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(2-Bromo-4-methoxybenzenesulfonyl)-4,5,6-trichloro-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-Benzenesulfonyl-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Methylbenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Bromobenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Isopropylbenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(2-Bromobenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(2-Bromo-4-methoxybenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(2-Bromo-4-methoxybenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole hydrochloride salt;
1-(4-methoxybenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Fluorobenzenesulfonyl)-5-methoxy-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole hydrochloride salt;
5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole maleate salt;
5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole citrate salt;
5-Bromo-1-(4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(benzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(4-methylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(4-bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;

5-Bromo-1-(2-bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(2-bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole hydrochloride salt;
5-Bromo-1-(2-bromo-4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
4-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
4-Bromo-1-(4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
4-Bromo-1-(4-isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
(1-Benzenesulfonyl-1H-indol-3-yl)-(4-methylpiperazin-1-yl)methanone;
[1-(4-Methylbenzenesulfonyl)-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
[1-(4-Isopropylbenzenesulfonyl)-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
[1-(2-Bromobenzenesulfonyl)-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
[1-(2-Bromo-4-methoxybenzenesulfonyl)-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
(1-Benzenesulfonyl-5-nitro-1H-indol-3-yl)-(4-methylpiperazin-1-yl)methanone;
[1-(4-Methylbenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
[1-(4-Fluorobenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
[1-(4-Bromobenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
[1-(4-Isopropylbenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
[1-(2-Bromobenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
[1-(4-Methoxybenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
[1-(2-Bromo-4-methoxybenzenesulfonyl)-5-nitro-1H-indol-3-yl]-(4-methylpiperazin-1-yl)methanone;
1-Benzenesulfonyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Methylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(2-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;

1-(2-Bromobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole hydrochloride salt;
1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole hydrochloride salt;
1-(4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(2-Bromo-4-methoxybenzenesulfonyl)-5-chloro-2-methyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Chloro-1-(4-fluorobenzenesulfonyl)-2-methyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Bromobenzenesulfonyl)-5-chloro-2-methyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Chloro-1-(4-Isopropylbenzenesulfonyl)-2-methyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-Benzenesulfonyl-5-chloro-2-phenyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Chloro-1-(4-methylbenzenesulfonyl)-2- phenyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(Benzenesulfonyl)- 5-fluoro-2-phenyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole ;
5-Fluoro-1-(4-methylbenzenesulfonyl)-2- phenyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Bromobenzenesulfonyl)-5-chloro-2- phenyl-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(2-Bromobenzenesulfonyl)-5-cyano-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Cyano-1-(4-methoxybenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Cyano-1-(4-fluorobenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
1-(4-Bromobenzenesulfonyl)-5-cyano-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
5-Cyano-1-(4-Isopropylbenzenesulfonyl)-3-(4-methylpiperazin-1-ylmethyl)-1H-indole;
N-(1-(4-Fluorobenzenesulfonyl)-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine;
N-(1-(4-Fluorobenzenesulfonyl)-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine hydrochloride salt;
N-(1-(4-Bromobenzenesulfonyl)-5-bromo-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine;
N-(1-(4-Bromobenzenesulfonyl)-5-bromo-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine hydrochloride salt;

N-(5-Bromo-1-(4-methoxybenzenesulfonyl)1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine;
N-(1-(4-Methoxybenzenesulfonyl)-5-nitro-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine;
N-(1-(4-Methoxybenzenesulfonyl)-5-nitro-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine hydrochloride salt;
N-(1-(2-Bromobenzenesulfonyl)-5-bromo-1H-indol-3-yl)methyl-N,N',N'-trimethylethylene-1,2-diamine;
1-(2-Bromobenzenesulfonyl)-3-(4-(3-chlorobenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Methoxybenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;
1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Isopropylbenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(4-fluorobenzenesulfonyl)3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(4-methoxybenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Fluorobenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Fluorobenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole hydrochloride salt ;
1-(4-Methoxybenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Isopropylbenzenesulfonyl)-5-methoxy-3-(4-(2-methoxybenzene-1-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Fluorobenzenesulfonyl)-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Methoxybenzenesulfonyl)-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Isopropylbenzenesulfonyl)-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;
1-(2-Bromobenzenesulfonyl)-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;
1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;

5-Bromo-1-(4-fluorobenzenesulfonyl)-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(4-methoxybenzenesulfonyl)-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;
5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Fluorobenzenesulfonyl)-5-methoxy-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Methoxybenzenesulfonyl)-5-methoxy-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Isopropylbenzenesulfonyl)-5-methoxy-3-(4-(pyridin-2-yl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Isopropylbenzenesulfonyl)-5-methoxy-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Methoxybenzenesulfonyl)-5-methoxy-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Isopropylbenzenesulfonyl)-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Methoxybenzenesulfonyl)-3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(2-Bromo-4-methoxybenzenesulfonyl)- 3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(Benzenesulfonyl)- 3-(4-(benzyl)piperazin-1-ylmethyl)-1H-indole;
1-(4-Methoxybenzenesulfonyl)-3-2-[1,4]Diazepan-1-ylmethyl-1H-indole;
(R,S) 1-(1-Benzenesulfonyl-indol-3-yl)-1-(4-methylpiperazin-1-yl)ethane;
(R) 1-(1-Benzenesulfonyl-indol-3-yl)-1-(4-methylpiperazin-1-yl)ethane;
(S) 1-(1-Benzenesulfonyl-indol-3-yl)-1-(4-methylpiperazin-1-yl)ethane;
(R,S) 1-[1-(4-Methylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(R) 1-[1-(4-Methylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(S) 1-[1-(4-Methylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(R,S) 1-[1-(4-Methoxylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(R) 1-[1-(4-Methoxylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(S) 1-[1-(4-Methoxylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(R,S) 1-[1-(4-Isopropylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(R) 1-[1-(4-Isopropylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
(S) 1-[1-(4-Isopropylbenzenesulfonyl)indol-3-yl]-1-(4-methylpiperazin-1-yl)ethane;
1-(4-Fluorobenzenesulfonyl)-1H-indole-3-carboxylic acid N-(N,N-dimethylaminoethyl)-N-methylamide;

1-(4-Methoxybenzenesulfonyl)-1H-indole-3-carboxylic acid N-(N,N-dimethylaminoethyl)-N-methylamide;

1-(4-Isopropylbenzenesulfonyl)-1H-indole-3-carboxylic acid N-(N',N'-dimethylaminoethyl)-N-methylamide;

(R,S) α-[1-(4-Methoxybenzenesulfonyl)-1H-indol-3-yl]-α-(4-methylpiperazin-1-yl)acetonitrile;

(R) α-[1-(4-Methoxybenzenesulfonyl)-1H-indol-3-yl]-α-(4-methylpiperazin-1-yl)acetonitrile;

(S) α-[1-(4-Methoxybenzenesulfonyl)-1H-indol-3-yl]-α-(4-methylpiperazin-1-yl)acetonitrile;

(R,S) α-[1-(Benzenesulfonyl)-1H-indol-3-yl]-α-(4-methylpiperazin-1-yl)acetonitrile;

(R) α-[1-(Benzenesulfonyl)-1H-indol-3-yl]-α-(4-methylpiperazin-1-yl)acetonitrile;

(S) α-[1-(Benzenesulfonyl)-1H-indol-3-yl]-α-(4-methylpiperazin-1-yl)acetonitrile;

(R,S) α-[1-(4-Isopropylbenzenesulfonyl)-1H-indol-3-yl]-α-(4-methylpiperazin-1-yl)-acetonitrile;

(R) α-[1-(4-Isopropylbenzenesulfonyl)-1H-indol-3-yl]-α-(4-methylpiperazin-1-yl)-acetonitrile;

(S) α-[1-(4-Isopropylbenzenesulfonyl)-1H-indol-3-yl]-α-(4-methylpiperazin-1-yl)-acetonitrile;

1-(Benzenesulfonyl)-3-(4-(benzyloxycarbonyl)-piperazin-1-ylmethyl)-1H-indole;

1-(Benzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole;

1-(4-Methoxybenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole

1-(4-Isopropylbenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole

1-(2-Bromo-4-methoxybenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole

5-Bromo-1-(benzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole;

5-Bromo-1-(4-methoxybenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole

5-Bromo-1-(4-isopropylbenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole

5-Bromo-1-(2-bromo-4-methoxybenzenesulfonyl)-3-(4H-piperazin-1-ylmethyl)-1H-indole

1-[[1-(4-Isopropylbenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane

1-[[1-(2-Bromo-4-methoxybenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane

1-[[1-(4-methylbenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane

1-[[5-Bromo-1-(4-Methoxybenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane

1-[[5-Bromo-1-(4-Isopropylbenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane

1-[[5-Bromo-1-(2-Bromo-4-methoxybenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane

1-[[5-Bromo-1-(4-methylbenzenesulfonyl)-indol-3-yl]methyl][1,4]diazepane

and their pharmaceutically acceptable salts, polymorphs and solvates.

3. A pharmaceutical composition comprising either of a pharmaceutically acceptable carrier, diluent, excipients or solvate along with a therapeutically effective amount of a compound according to Claim-1, its derivatives, its analogs, its tautomeric forms, its stereoisomers, its geometric forms, its N-oxides, its polymorphs, its pharmaceutically acceptable salts, or its pharmaceutically acceptable solvates.

4. A pharmaceutical composition according to Claim-3, in the form of a tablet, capsule, powder, syrup, injectible, solution or suspension.

5. Use of compound of general formula (I), as defined in Claim-1 or a pharmaceutical composition as defined in Claim-3 for preparing medicaments.

6. Use of compound of general formula (I), as defined in Claim-1 or a pharmaceutical composition as defined in Claim-3 for the treatment where a modulation of 5-HT activity is desired.

7. Use of a compound as claimed in Claim-1 for the manufacture of a medicament for the treatment and/or prevention of clinical conditions for which a selective action on 5-HT receptors is indicated.

8. Use of a compound as claimed in Claim-1 for the treatment and/or prevention of clinical conditions such as anxiety, depression, convulsive disorders, obsessive-compulsive disorders, migraine headache, cognitive memory disorders, ADHD (Attention Deficient Disorder/ Hyperactivity Syndrome), personality disorders, psychosis, paraphrenia, psychotic depression, mania, schizophrenia, schizophreniform disorders, withdrawal from drug abuse, panic attacks, sleep disorders and also disorders associated with spinal trauma and /or head injury.

9. Use of a compound as claimed in Claim-1 for the treatment of mild cognitive impairment and other neurodegenerative disorders like Alzheimer's disease, Parkinsonism and Huntington's chorea.

10. Use of a compound as claimed in Claim-1 for the treatment of certain GI (Gastrointestinal) disorders such as IBS (Irritable bowel syndrome) or chemotherapy induced emesis.

11. Use of a compound as claimed in Claim-1 to reduce morbidity and mortality associated with the excess weight.

12. Use of a radiolabelled compound as claimed in Claim-1, as a diagnostic tool for modulating 5-HT receptor function.

13. Use of a compound as claimed in Claims 1 in combination with a 5-HT re-uptake inhibitor, and / or a pharmaceutically acceptable salt thereof.

14. A compound of the general formula (1), its derivatives, its analogs, its tautomeric forms, its stereoisomers, its polymorphs, its pharmaceutically acceptable salts and its pharmaceutically acceptable solvates for preparing a medicament.

15. A method for the treatment and/or prophylaxis of clinical conditions such as anxiety, convulsive disorders, obsessive-compulsive disorders, migraine headache, cognitive memory disorders, ADHD (Attention Deficient Disorder/ Hyperactivity Syndrome), personality disorders, psychosis, paraphrenia, psychotic depression, mania, schizophrenia, schizophreniform disorders, withdrawal from drug abuse, panic attacks, sleep disorders and also disorders associated with spinal trauma and /or head injury which comprises administering to a patient in need thereof, an effective amount of a compound of general formula (I) as claimed in Claim-1.

16. A method for the treatment and/or prophylaxis of mild cognitive impairment and other neurodegenerative disorders like Alzheimer's disease, Parkinsonism and Huntington's chorea which comprises administering to a patient in need thereof, an effective amount of a compound of general formula (I) as claimed in Claim-1.

17. A method for the treatment of certain GI (Gastrointestinal) disorders such as IBS (Irritable bowel syndrome) or chemotherapy induced emesis using a compound of general formula (I) as claimed in Claim-1.

18. A method to reduce morbidity and mortality associated with the excess weight using a compound of general formula (I) as claimed in Claim-1.

19. A process for the preparation of compound of formula (I)

wherein A may be $-CH_2-$, and R_{11} and R_{12}, refer to substitutions on the carbon whenever A is CH_2;

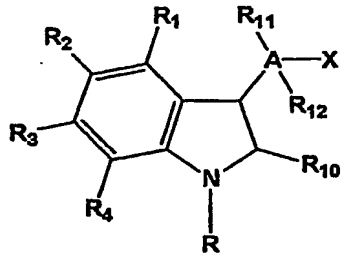
Wherein, R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8, R_9, R_{10}, R_{11}, R_{12}, R_{14} and R_{15} may be same or different and each independently represent hydrogen, halogen, oxo, thio, perhaloalkyl, hydroxy, amino, nitro, cyano, formyl, amidino, guanidino, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, (C_1-C_{12})alkoxy, cyclo(C_3-C_7)alkoxy, aryl, aryloxy, aralkyl, aralkoxy, acyl, acyloxy, acylamino, monoalkylamino, dialkylamino, arylamino, diarylamino, aralkylamino, alkoxycarbonyl, hydroxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, alkoxyalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkyloxycarbonylamino, aminocarbonylamino, alkylaminocarbonylamino, dialkylaminocarbonylamino, alkylamidino, alkylguanidino, dialkylguanidino, carboxylic acid and its derivatives, sulfonic acids and its derivatives;

R_{13}, R_{16} and R_{17} may be same or different and each independently represents Hydrogen, substituted or unsubstituted groups selected from linear or branched (C_1-C_{12})alkyl, (C_2-C_{12})alkenyl, (C_2-C_{12})alkynyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkenyl, bicycloalkyl, bicycloalkenyl, aryl, aralkyl, heterocyclylalkyl; optionally R_{13} along with either R_{16} or R_{17} and the two nitrogen atoms may form a 6 or 7–

membered heterocyclic ring, which may be further substituted with R_{14} and R_{15}, and may have either one, two or three double bonds;

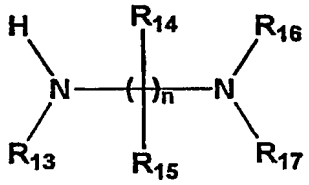
"n" is an integer ranging from 1 to 4, wherein the carbon chains which "n" represents may be either linear or branched.

which comprises reacting a compound of formula (II) given below,



(II)

wherein all the symbols are as defined above, and X is halogen, preferably chloro, bromo or iodo; with a compound of formula (III) or its acid addition salt,



(III)

wherein all the symbols are as defined above.